# Historic, archived document

Do not assume content reflects current scientific knowledge, policies, or practices.



# UNITED STATES DEPARTMENT OF AGRICULTURE Agricultural Research Service

U. S. DEPT. OF AGRICULTURE AZIRIDINYL CHEMOSTERILANTS FOR HOUSE FLIES

NOV 7 1968 By A. B. Borkovec, R. L. Fye, and G. C. LaBrecque Entomology Research Division

**CURRENT SERIAL RECORDS** 

Alkylating agents derived from ethylenimine (aziridine) were among the first insect chemosterilants found to affect the reproductive capacity of male house flies (Musca domestica L.). The historical development of the search for effective chemosterilants was reviewed by Lindquist (8),1/Bořkovec (1-3), and Smith et al. (10). Since 1960, chemists and entomologists of the Entomology Research Division have cooperated in an extensive program of synthesis, procurement, and testing of over 300 aziridinyl compounds. Bořkovec (3) reviewed some of the results of testing and the results of the dose-response relationship and structure-activity correlation studies. In this publication we present the complete list of and summarize the screening data for all aziridinyl compounds tested on adult house flies in our laboratories.2/

R<sub>1</sub> to R<sub>5</sub> can be substituted for the five hydrogen atoms in aziridine (ethylenimine), as shown in figure 1.

$$R_1 - N = C - R_2$$

$$R_1 - N = C - R_3$$

$$R_4 = R_5$$

Figure 1.--Substitution of  $R_1$  to  $R_5$  for five hydrogen atoms in aziridine.

<sup>1/</sup> Numbers in parentheses after the authors' names refer to Literature Cited at the end of this report.

<sup>2/</sup> Mention of chemical compounds in this report is not a recommendation. No product should be used in insect control unless it is registered for the specific use intended, as clearly shown on the product label.

The nature of the substituents and the degree of substitution on the ring affect the chemical, physical, and biological properties of the parent compound. Aziridine is a volatile (b.p. 57° C.) and a highly reactive liquid. It can be converted by appropriate substitution to almost inert solid materials, which melt at temperatures above 200° and are insoluble in all common solvents (e.g., table 2, compound 35). Between these two extremes is a great variety of aziridinyl compounds, some of which are highly effective chemosterilants for many species of insects.

Although all chemosterilants are to some extent species specific, the aziridinyl compounds have the broadest spectrum of activity, and all species of insects tested thus far have been at least slightly susceptible to their sterilizing effects. Thus the house fly, which has a relatively short life cycle, is easily reared, and is readily treated with the test compounds, is an almost ideal subject for screening purposes. However, neither the qualitative nor the quantitative structure-activity correlations derived from tests of house flies can be related to other species of insects without exceptions. Only the broadest generalizations concerning the structural requirements of effective sterilants can be applied to the selection of candidate compounds for an untested species.

Of the 301 aziridines listed in tables 1-4, 102 were synthesized in our laboratories. Many of the others were originally synthesized as potential antitumor agents, and samples were made available to us through the Cancer Chemotherapy National Service Center, National Institutes of Health, Public Health Service. The remaining compounds were donated by industrial, academic, and other laboratories here and abroad.

Since most aziridinyl compounds are sensitive to acids, bases, and heat, generally all compounds used in our tests were stored in a refrigerator, and any prolonged contact with water, acids, bases, or other reactive materials was avoided. However, in spite of these precautions, some more sensitive aziridines may have been partially decomposed by the time the screening was completed. Neither the sugar nor the regular fly food diet, in which the candidate compounds were administered to flies, is sufficiently acidic or basic to cause serious difficulty, but the exposure of the formulated chemosterilants to warm moist air and to fly excreta in the test cages undoubtedly caused some degradation of sensitive compounds. Therefore, it was not safe to base conclusions about structure-activity or other relationships on isolated tests, particularly if such tests happened to be negative. However, the series of tests made at various concentrations or in various media did give a rather reliable picture of activity, and all our generalizations concerning qualitative and quantitative effects of aziridines are based on such series.

Highly unstable aziridinyl compounds that were expected to decompose or to disappear within a short time after formulation were excluded from the study. However, we did test a group of volatile monoaziridines (table 1, compounds 1, 3, 4, 71) in an aqueous medium. the results of these tests are not strictly comparable with the results obtained with solid formulations, but they provide a good indication of the activity of volatile compounds administered orally.

#### SCREENING

In 1961, a standardized technique for testing insect chemosterilants on adult house flies was developed in our laboratory at Orlando, Fla., (which was later moved to Gainesville, Fla.). Compared with previous methods (6, 7), this technique included two new features—the determination of percentages of pupation and the administration of the sterilant in two media. Insects treated with chemosterilants lay only a few or no eggs or the eggs fail to hatch; however, some chemosterilants have delayed effects, that is, the eggs of treated insects hatch, but the larvae die before reaching the pupal stage. Thus the determination of percent pupation is necessary in evaluating the delayed effects. Also, chemosterilants frequently have different quantitative effects when administered in different media. The reasons are not fully understood, and the effects of media on activity usually cannot be predicted.

We administered candidate compounds in two diet media--granulated sugar or regular fly food, which is a mixture of six parts sugar, six parts powdered nonfat dry milk, and one part powdered egg yolk. A measured quantity of the candidate compound was dissolved or dispersed in a suitable volatile organic solvent (e.g., acetone). Then the solution was mixed with the media, the solvent was evaporated, the residue was repulverized, and the treated diet was placed in a cage containing 100 newly emerged flies of both sexes. Similar cages of 100 flies were provided with untreated diet and were used as checks. After 3 days the treated flies were examined for mortality caused by the sterilant, and then untreated regular fly food, which provided the protein for egg development, was offered to those flies that had been fed the treated sugar diet.

When the flies were 6 to 7 days old, a sample cup containing 1.2 cm of moist CSMA (Chemical Specialties Manufacturers Association) 3/medium was put in each cage to provide a convenient site for oviposition. After 4 to 6 hours the cup was removed and filled with water, and the medium was stirred to break up the egg masses. If no eggs were laid, the oviposition medium was offered again at intervals of 1 to 2 days until it had been offered five times or until the flies oviposited.

<sup>3/</sup> Mention of proprietary products and commercial organizations in this report is solely to provide specific information. It does not constitute endorsement by the U. S. Department of Agriculture over other products and organizations not mentioned.

A random sample of 100 eggs was collected from each cup and placed on a small piece of wet black cloth, which was laid on top of moist larval medium in a rearing container. After the eggs had been exposed on the larval medium for 2 to 3 days, the percent hatch was determined. Since larvae that hatched crawled from the cloth into the rearing medium, the pupae there were counted about a week after oviposition to determine the number of larvae that had developed into pupae.

In tests for male sterility, only male flies were offered the treated diet. Insemination of females was insured by confining these treated males to cages with one-half their number of virgin females. Then ovipositing females were egged two or three times, and the percent hatch and pupation were determined in the usual way. The results of the second and third eggings indicated the permanence of the effects of the male chemosterilant. High percent sterility in the first batch of eggs and low or no sterility in the second and third batch indicated temporary sterilization.

Most compounds were first tested at a concentration of 1 percent. Those causing sterility or mortality were tested at lower concentrations. Those producing only partial sterility at 1 percent were tested at 2.5 and 5.0 percent. Some compounds available only in small quantities were not tested in both media or at all concentrations.

# DISCUSSION OF RESULTS

The screening results are summarized in tables 1-4. The compounds are divided into four categories according to the number of aziridinyl groups they contain. In table 1 are listed the monoaziridines tested, in table 2 the diaziridines, in table 3 the triaziridines, and in table 4 the polyaziridines with four to eight aziridinyl rings per molecule. The first three categories of aziridines are also subdivided according to the mode of substitution on the aziridinyl ring. As indicated in figure 1, the substituents  $R_2$  to  $R_5$  can be hydrogen or some other monovalent group. Thus tables 1-3 contain only those aziridines in which at least one of the substituents  $R_2$  to  $R_5$  is not hydrogen. The last group of aziridines (table 4) is rather small, and further subdivision appeared unnecessary.

The unsubstituted aziridine—ethylenimine (table 1, compound 1)—is a volatile liquid soluble in all common solvents. This compound is a basic building stone of all aziridines, and numerous experiments were made to determine its effects on the reproduction of house flies. Since the lack of activity it showed when fed in the dry sugar diet could have been caused by its rapid volatilization, the compound was next given in an aqueous sugar solution. However, the results were only moderate, even though house flies can consume a considerably larger amount of a test compound in sugar water than in solid food.

Borkovec et al. (4), therefore, tried to avoid decomposition of the highly reactive ethylenimine in the flies' digestive system and to insure its entry into the hemolymph by injecting male house flies with 0.2 to 5.0  $\mu g$ . of ethylenimine per fly. Again this treatment did not produce any appreciable sterility in the insects. Also, a solid complex of ethylenimine with picric acid (table 1, compound 2) had no effect on the fertility of treated flies. Ethylenimine apparently is only a weak house fly chemosterilant, and the high activity of the many effective aziridinyl compounds is not the result of their degradation to free ethylenimine in the organism.

The data in tables 1-4 show that although the sterilizing activity of an unsubstituted or C-substituted aziridine can be increased by appropriate N-substitution (fig. 1,  $R_1$ ), all attempts to increase the activity of an unsubstituted or N-substituted aziridine by any C-substitution (fig. 1,  $R_2$  to  $R_5$ ) were unsuccessful. Thus we can generalize that varying the substitution on the aziridinyl nitrogen is the only way to improve the sterilizing activity of any given aziridine, and the types of substituents that produce effective sterilants are limited to groups containing polar, high electron-density systems. The most common of the groups are shown in figure 2.

Figure 2.——Substituents on aziridinyl nitrogen occurring in active chemosterilants

Though the positive end of the polar group of most effective compounds is attached directly to the aziridinyl nitrogen, the group can exert some effect even through one methylene group. For example, compare compound 24 with 5 and 28 with 7 (table 2). This broad generalization concerning the effects of substitution on aziridinyl nitrogen is valid without regard to the type or number of substituents on the aziridinyl carbons or to the number of aziridinyl rings present in the molecule. The number of functional alkylating groups required for sterilizing activity was discussed in detail by Bořkovec (3). The present experimental results confirm that conclusion that active chemosterilants can be found among both monofunctional (table 1) and polyfunctional (tables 2 and 4) aziridinyl compounds but that the polyfunctional compounds are generally more active than their monofunctional analogs.

A second generalization becomes apparent when the activity of compounds shown in tables 1-3 is compared with the activity of analogous C-substituted compounds shown in these tables. It concerns the effects of substitution on the aziridinyl carbon atoms. If two analogous compounds, one without C-substituents and the other with C-substituents, are compared, the unsubstituted compound invariably shows higher sterilizing activity than the substituted compound. C-methyl substitution appears the least injurious to the activity of the parent compound, and the differences between the effects of such pairs of compounds are sometimes too small to be detected by the feeding method. See, for example, table 2, compounds 30 and 99, 22 and 98, and 35 and 102.

Detailed quantitative studies in which the dose administered to each individual insect is precisely known are laborious, and they have been conducted with only a few compounds. Nevertheless, the results of quantitative experiments performed with tepa (table 3, compound 8) and metepa (table 3, compound 14) by Chang and Borkovec (5) on male house flies and by Murvosh et al. (9) on flies of both sexes confirm the conclusion that C-methylation substantially reduces the activity of the parent compound.

Substitution with electron-withdrawing substituents on the aziridinyl carbon is difficult because synthesis is a problem, and only a limited number of such derivatives is known. However, the lack of activity of an ethoxycarbonyl-substituted compound (table 3, compound 25) derived from an active unsubstituted compound (table 3, compound 8) indicates that whatever the electronic characteristics of the substituents, the major activity-reducing factor, that is, substitution on the aziridinyl carbon, cannot be overcome.

The physical properties of aziridinyl compounds are probably as important in determining their sterilizing activity as their chemical structures. However, all attempts to correlate solubility, molecular shape, size, or other physical properties with the sterilizing activity of aziridinyl compounds have been unsuccessful. Many highly active aziridines are soluble in both polar and nonpolar solvents, but notable exceptions are known (table 2, compounds 24, 26, 35). Stereoisomers of some aziridinyl compounds were available for testing (table 3, compounds 15, 17, 18), but the differences in their effectiveness did not appear to be significant, and the results of a single test with compound 18 in table 3 are dubious. (The compound was available only in milligram quantities, and its purity appeared to be low.) Although there may be an upper limit to the molecular size of chemosterilants, the other physical properties of large molecules, particularly solubility and transport characteristics, may interfere with any determination about which factor was responsible for the change in biological activity.

We have already stressed that the chemosterilizing effects of a compound on house flies do not necessarily indicate the scope or activity of that compound on other species of insects. Only the Mexican fruit fly (Anastrepha ludens (Loew)) and the screw-worm (Cochliomyia hominivorax (Coquerel)) have been used in extensive screening of chemosterilants, and only a few aziridines have been tested on other insect species. Nevertheless, the two broad generalizations about the structure-activity relationship appear to be valid for all species of insects. Also, species specificity, which is particularly pronounced in the nonalkylating chemosterilants (3), though still evident in the aziridinyl compounds, is much less pronounced than in any other group of chemosterilants.

## EXPLANATION OF TABLES

In the tables, the entomology number (ENT-) refers to code numbers assigned to compounds tested in the Entomology Research Division. All compounds are named according to the index system used by "Chemical Abstracts." Only compounds of known structure are included. In a few instances the positions of substituents on the aromatic rings are unknown, and this has been indicated in the structural formula by a long line reaching the center of the aromatic system. Under the heading Source, the following abbreviations are used: PCRB (Pesticide

Chemicals Research Branch, Entomology Research Division, Beltsville, Md.), CCNSC (Cancer Chemotherapy National Service Center, National Institutes of Health, Public Health Service, Bethesda, Md.), and USDA (U. S. Department of Agriculture). Under Concentration, the percentages are based on the number of grams of test compound added to 100 grams of dry food.

Under the sterilization columns are shown the effects of the test compound when it was administered to both sexes on percent hatch and percent pupae. Numbers followed by the male sign (o?) refer to effects of the compound administered to males only. Numbers in parentheses are values obtained when the experiments were duplicated, but if the parenthesis is followed by o?, the numbers indicate the results of second or third eggings of untreated females crossed with treated males. Dashes ( - ) indicate that the experiment was not performed at the given level in one of the diet media. The notation NO (no oviposition) means that the females laid no eggs, even after repeated egging.

## LITERATURE CITED

- (1) Borkovec, A. B.
  1962. Sexual sterilization of insects by chemicals. Sci. 137:
  1034-1037.
- 1964. Insect chemosterilants. Their chemistry and application.
  Residue Rev. 6: 87-103.
- 1966. Insect chemosterilants. Advances in pest control research. V. VII, 143 pp. Interscience Publishers, Inc., New York.
- (4) Chang, S. C., and Limburg, A. M.

  1964. Effect of pH on sterilizing activity of tepa and metepa in male house flies. Jour. Econ. Ent. 57: 815-817.
- (5) Chang, S. C., and Bořkovec, A. B.
  1964. Quantitative effects of tepa, metepa, and apholate on sterilization of male house flies. Jour. Econ. Ent.
  57: 488-490.
- (6) Gouck, H. K., Crystal, M. M., Borkovec, A. B., and Meifert, D. W. 1963. A comparison of techniques for screening chemosterilants of house flies and screw-worm flies. Jour. Econ. Ent. 56: 506-509.
- (7) LaBrecque, G. C., Adcock, P. H., and Smith, C. N.
  1960. Tests with compounds affecting house fly metabolism.
  Jour. Econ. Ent. 53: 802-805.
- (8) Lindquist, A. W.
  1961. Chemicals to sterilize insects. Wash. Acad. Sci. Jour.
  51: 109-114.
- (9) Murvosh, C. M., LaBrecque, G. C., and Smith, C. N.
  1964. Effect of three chemosterilants on house fly longevity
  and sterility. Jour. Econ. Ent. 57: 89-93.
- (10) Smith, C. N., LaBrecque, G. C., and Borkovec, A. B.
  1964. Insect chemosterilants. Ann. Rev. Ent. 9: 269-284.

Table 1..-Monoaziridinyl compounds without and with substituents on aziridinyl carbon: Identity, source, and sterilization to house flies

	Entomology					Steril	Sterilization at indicated insect	indicated	Insect
	No.				Concen-	FIV	Fly food Sing	S Dunoduo	Sugar
Item	(ENT-)	Мате	Structure	Source	tration	Hatch	Pupae	Hatch	Pupae
				אַרנוסחר סחפרוויתפוויפ	Percent	Percent	Percent	Percent	Percent
н	50324	Ethylenimine	Ä	Commercial	1.0	1	1	100	95 14 <u>1</u> /
Ś	50369	Ethylenimine, DMH picrate	. HO O NO2	PCRB	1.0	1	1	93	93
e	50409	Aziridine, 1-ethyl-	Nc <sub>2</sub> H <sub>5</sub>	Interchemical Corp.	1.0	ı	ı	$91\frac{1}{2}$	$85^{1/}$
4	50668	Aziridine, 1-butyl-	∑N(CH <sub>2</sub> ) <sub>3</sub> CH <sub>3</sub>	Commercial	1.0	1	ı	$92^{1/2}$	$88\frac{1}{2}$
2	50488	Aziridine, $1 - \frac{\text{tert}}{\text{butyl}} - \frac{\text{NC(CH}_3)_3}{3}$	1- Nc(cH <sub>3</sub> ) <sub>3</sub>	A. T. Bottini, Univ. Calif.	1.0	86	86	86	74
9	50410	Aziridine, 1-phenethyl- $\mathbb{D}^{\mathrm{NCH}_2\mathrm{CH}_2}$	- DNCH2 CH2	\ Interchemical Corp.	1.0	ı	1	96	80
7	50491	Aziridine, 1-phenyl-		A. T. Bottini Univ. Calif.	1.0	100	06	66	96
<b>∞</b>	50554	l-Aziridinemethanol, α-methyl-	OH OH	Dow Chemical Co.	1.0	100	85	100	79
<i>و</i> ′	50555	1-Aziridinemethanol, $\alpha$ -ethyl-	OH NCHCH <sub>2</sub> CH <sub>3</sub>	• op	1.0	93	50	66	88
10	50553	l-Aziridinemethanol, $\alpha$ -propyl-	oн ∑nchch2ch2cH3	do.	1.0	91	06	89	72

Table 1..-Monoaziridinyl compounds without and with substituents on aziridinyl carbon: Identity, source, and sterilization to house flies---Continued

				Continued						
	,					Steril	Sterilization at indicated insect	indicated	insect	
	Entomology No				Concen-	15	Flv food Sup	compound	Sugar	
Item	(ENT-)	Name	Structure	Source	tration	Hatch	Pupae	Hatch	Pupae	
				Without Substituents						
=	50891	1-Aziridinemethanol.	НÓ		Percent	Percent	Percent	Percent	Percent	
1	1	α-(trichloromethyl)-	- [уснсс13	PCRB	1.0	100	09	100	77	
12	50768	1-Aziridineethanol	[мсн <sub>2</sub> сн <sub>2</sub> он	Commercial	1.0	82	16	85	81	
13	50587	l-Aziridineethanol, α-vinyl-	OH CNCH2CHCH=CH2	CCNSC	1.0	95	06	97	91	
14	50700	l-Aziridineethanol, α-vinyl-, acetate	ococh <sub>3</sub>       NCH <sub>2</sub> CHCH=CH <sub>2</sub>	CCNSC	1.0	86	95	80	77	-
15	50475	l-Aziridineethanol, α-phenyl-	NCH <sub>2</sub> CH-CH-CH-CH-CH-CH-CH-CH-CH-CH-CH-CH-CH-C	C. L. Stevens Wayne State Univ.	1.0	ı	ı	95	88	
16	50480	l-Aziridineethanol, α-phenyl-, perchlora	eethanol, $\begin{array}{c} \text{OH} \\ , \text{ perchlorate } \boxed{\text{NCH}_2^\text{CH}} \\ \end{array} \right\rangle.$	. HC10 <sub>4</sub> do.	1.0	1	1	100	82	
17	50477	l-Aziridineethanol, β-phenyl-	(О) Гуснсн <sub>2</sub> он	·op	1.0	ı	ı	97	91	
18	50474	l-Aziridineethanol, eta,eta-dimethyl- $lpha$ -phenyl-	$- \underset{\text{CH}_3}{\text{CH}_3} \underset{\text{OH}}{\overset{\text{OH}}{\bigcirc}}$	• op	1.0	i	1	95	85	
19	50476	1-Aziridineethanol, $\beta, \beta$ -dimethyl- $\alpha$ -phenyl-, picrate	гіз он 2 — сі-О) . но-	$\stackrel{NO_2}{\bigcirc}$ $\stackrel{NO_2}{\bigcirc}$ do.	1.0	ſ	ı	86	06	
20	50381	l-Aziridineethanol, β-ethyl-β-methyl- α-phenyl-	$\begin{array}{c} c_{13} & c_{14} \\ c_{2} \\ c_{2} \end{array}$	· op	1.0	1	1	96	93	

36	67	0 20 51	$0\frac{2}{20}$	67 0 91 83 77 76	92	84	87
8 5	97	NO <u>2</u> / 79 75	4 <u>2</u> / 66 68	95 89 90 89	86	926	86
75	70	96 1 1	$\frac{3}{80^{\frac{3}{2}}}$	1 1 1 1 1 1	1	72	1
76	91	66 1 1	3/ 97 <u>3/</u> 78	11111	1	82	ı
1.0	1.0	1.0 .5 .25	1.0	1.0 1.0 .5 .1 .1	1.0	1.0	1.0
C. L. Stevens NO <sub>2</sub> Wayne State Univ.	CCNSC	PCRB	Continental Oil Co.	C. L. Stevens Wayne State Univ.	do.	PCRB	Interchemical Corp.
$c_{-2}^{\text{CH}_3} \xrightarrow{\text{OH}} vo_2$ $c_{-2}^{\text{CH}_5} \xrightarrow{\text{NO}_2} vo_2$	CH=CH <sub>2</sub> 0 NCH CH <sub>2</sub> 0 CCH <sub>3</sub>	ONCH2CH2OGNH	SNCH2CH2OPOC2H5	CNC CH3 CH3 CH3	$ \begin{array}{c} C_3 & 0 \\ C_2 & C_3 \\ C_2 & C_3 \end{array} $	Z	DVCH2CH2NH2
Cil-Aziridineethanol, Cilecthyl. S-ethyl. S-methyl. NC: \alpha-picrate C.	1-Aziridineethanol, 8-vinyl-, acetate	1-Aziridineethanol, carbanilate	Phosphorothioic acid, $\frac{0}{-}[2-(1-aziridiny1)=$ ethy1] $\frac{0}{0}$ -diethy1 ester	Propiophenone, 2-(1- aziridinyl)-2-methyl-	Butyrophenone, 2-(1- aziridinyl)-2-methyl-	Aziridine, 1-[(diethyl= amino)methyl]	Aziridine, 1-(2- aminoethyl)-
50479	50863	33171	50766	50481	50478	50779	50413
21	22	23	24	25	26	27	28

Table 1.--Monoaziridinyl compounds without and with substituents on aziridinyl carbon: Identity, source, and sterilization to house flies---Continued

				Continued						
	Fortomology					Steriliz	ization at ind stage with co	Sterilization at indicated insect stage with compound in	ict	
	No.				Concen-	Fly food		Sugar	ıı	
Item	(ENT-)	Name	Structure	Without Substituents	tration	Hatch	Pupae	Hatch	rupae	, .
					Percent	Percent	Percent	Percent	Percent	
29	23944	1-Aziridinepropioni=	NCH, CH, CN	Interchemical Corp.	5.0	1	1	$^{164}$	176	
		trile	1	•	2.5	94	73	1	1	
					.1.	95	2 06	1 1	1 1	
			0=	-	·		ì	Ç		
30	20406	Aziridine, 1-acetyl-	Lucch <sub>3</sub>	· op	D*1	6/	<del>)</del>	0/	Т0	
31	50890	Aziridine, 1-propionyl-	- [усс <sub>н2</sub> сн <sub>3</sub>	PCRB	1.0	86	79	100	78	
32	20797	Aziridine, 1-(cvclopropyl=	DV1= NCCH	Abbott	2.5	09	47	97	93	
1		carbonyl) -		Laboratories	1.0	84	20	94	45	
33	50744	Aziridine, 1-benzoyl-		PCRB	1.0	95	80	95	38	
			) (							
34	50550	Aziridine, $1-\underline{o}$ -toluoyl- [NC-	$\sim$	PCRB	2.5	92 <i>&amp;</i> 97	85 <i>&amp;</i> 67	<del>/كَ</del> ر) 3(99)	0) 0	
			СН <sub>З</sub>		.5	l I	1 1	65(50) 96(99)	59(29) 86(84)	
					.1	ı	ı	100 (95)	83(85)	
35	50549	Aziridine, $1-\underline{m}$ -toluoyl-		PCRB	5.0	- 87.0%	70%	23/	0 1	
					1.0	95	20 -	95	0	
			E		.25	1 1	1 1	97	83 89	
36	50548	Aziridine, 1-p-toluoyl-	°=	PCRB	5.0	1 '	1 )	$0\frac{3}{\sqrt{2}}$	$0\frac{3}{\sqrt{8}}$	
			CNG-{C}-GH3		2.5 1.0	96d 100	860	85(48)		
					.25	1 1		84 (94) 88 (96)	11(0) 55(66)	
					.1	ı	1	92(100)		
37	86205	Aziridine, $1-(0)$		PCRB	1.0	100	84	66	98	
		chlorobenzoyl) -								

73	33	43	23	75 16 19	860°	13 11 0 (0,1) 0 71 88	42	- 06
80	20	06	06	80 18 21	98	23 30 - 2(2,4) 2 86 86	86	- 66
84	82	84	76	- 1 9	0 0 820°	1 1 08 1 1 1 1 1	73	73(42)
66	93	100	86	3311	0 0 840°	1 1 000	66	79(73)
1.0	1.0	1.0	1.0	5.0 2.5 1.0	5.0 2.5 1.0	5.0 2.5 1.0 1.0 .5 .25 .1	1.0	1.0
PCRB	Interchemical Corp.	PCRB	PCRB	PCRB	Interchemical Gorp.	Chemirad Corp.	PCRB	Chemirad Corp.
Aziridine, 1- $(m-chlorobenzoyl)$ - $M^{ij}$ $C$ $C$ $C$ $C$ $C$ $C$	Aziridine, 1-( $\mathbb{P}^-$ [NC $\stackrel{0}{\longleftarrow}$ chlorobenzoyl) -	Aziridine, 1- $\underline{0}$ -anisoyl- $\boxed{\mathbb{N}^{0} \subset \mathbb{N}^{0}}$	Aziridine, 1- $\underline{\underline{n}}$ -anisoy1- $\left[ \stackrel{0}{\text{NC}} \stackrel{\bigcirc}{\longleftrightarrow} \right]$	Aziridine, 1-p-anisoy1- $\mathbb{N}^0$ $\mathbb{C}$ - $\mathbb{C}$	Aziridine, 1-(p- nitrobenzoyl) $[NC-]$ -NO <sub>2</sub>	1-Aziridinecarboxamide, $0$ $N$ -propyl $ N$ -CNH(CH <sub>2</sub> ) <sub>2</sub> CH <sub>3</sub>	1-Aziridinecarboxamide, $0 \\ N-buty1 N-buty1-$	1-Aziridinecarboxamide, $0 \\ N$ -octadecyl- $N$ -oct
50737	50407	50740	50741	50739	50751	50170	20660	50169
38	39	40	41	42	43	44	45	95

Trem	Entomology No. (ENT-)	Мате	Structure	Source		stage with Fly food h Pupae	stage with comp food Pupae	compound in- Sugar Hatch Pup	ar Pupae
				מחבר בתבונה	Percent Fercent		Percent Perc	Percent Percent	ent
47	50171	1-Aziridinecarboxanilide	CNC-NH-ON-	Chemirad Corp.	5.0 2.5 1.0 1.0 1.0 .5 .5 .25		1 1 1 1 1 1 1 1 1	7 	0 0 0(0,0) 0(77) 0 0 0 15
48	50685	l-Aziridinecarboxy- o-toluidide	FNCNH CH <sub>3</sub>	PCRB	5.0 2.5 2.5 1.0 .5 .1	- 69 <i>d</i> 6(44) 79 85 97	- 62 <i>d</i> 0(37) 64 76 84	0 0 00 <sup>3</sup> 12(3) 91 80 97 100	0 0 0 $\sigma^{*}$ 0(0) 13 62 91 87
64	50687	1-Aziridinecarboxy- <u>m-</u> toluidide	NCNH CH	PCRB	5.0 2.5 1.0 1.0 1.5 2.5 .1	0 0 1.17 1.7 97 98	0 0 17 <i>d</i> ° 0 0 - - 86 88 88		- 00° - 1(12) 18 76 85 85
50	50686	l-Aziridinecarboxy— <u>p-</u> toluidide	[NCNH CH <sub>3</sub>	PCRB	5.0 2.5 1.0 .5 .25	0 <sup>2</sup> / 0 8(95) 94 - -	0.000 $0.00$ $0.00$ $0.00$ $0.00$ $0.00$ $0.00$ $0.00$ $0.00$	22 8(78) 46 91 99	- 2(55) 46 82 94 81
51	50725	1-Aziridinecarboxanilide, D.CNH 21-chloro-	e, Dycnh	PCRB	1.0	68	86	95	80
52	50724	1-Aziridinecarboxani= 1ide, 3'-chloro-	Diconi-	PCRB	1.0	97	88	97	76

35	13 26 18	<b>%</b> 00 m	83	88	86	99	8	83
35	15 30 86	0 1 d 0	92	66	66	29	97	95
54	- 1 6	61	87	86	88	87	1	88
621/	100	1018	88	100	86	94	1	96
1.0	5.0 2.5 1.0	5.0 5.0 2.5	1.0	1.0	1.0	1.0	1.0	1.0
PCRB	PCRB	PCRB	PCRB	PCRB	PCRB	Interchemical Corp.	The Squibb Inst.	Sloan-Kettering Inst.
1-Aziridinecarboxani= DNCNH	1-Aziridinecarbox-o-	$\begin{array}{cccccccccccccccccccccccccccccccccccc$	1-Aziridinecarboxani= $0$ 1ide, 4'-nitro- $0$ $0$ $0$ $0$ $0$	1-Aziridinecarboxamide, $0$ $\overline{N}$ -1-naphthy1- $\overline{N}$ CNH $\overrightarrow{\bigcirc}$	1-Aziridinecarboxylic $\bigcup_{\text{NCOC}_2^{\text{H}_5}}^{\text{0}}$	1-Aziridinecarboxani=   S   Iide, thio-	S-Triazine, 2-(1-aziridiny1)= [N-(1)] N (1) N (2)	Imidazo [1,2-a]-s-triazine, 2-(1-aziridinyl)-4- chloro-6,7-dihydro-
50723	50743	50742	50721	50683	60257	50731	50302	50789

Table 1.--Monoaziridinyl compounds without and with substituents on aziridinyl carbon: Identity, source, and sterilization to house flies--

	Entomology				Concern	Sterilization stage	ization at i stage with c	Sterilization at indicated insect stage with compound in	ct
Item	(ENT-)	Name	Structure	Source Without Substituents	tration	Hatch	Pupae	Hatch	Pupae
				,	Percent	Percent	Percent	Percent Po	Percent
62	50991	Phosphonic diamide, $\underline{P}-1$ -aziridinyl $-\underline{N}, \underline{N}, \underline{N}', \underline{N}'$ -tetramethyl	$\begin{bmatrix} 0 & 0 \\ \ln \text{NPN}(\text{CH}_3)_2 \\ \text{N}(\text{CH}_3)_2 \end{bmatrix}$	PCRB	1.0 1.0 .5 .25 .25	30 81 1	3/	0.03/0.000 $0.0000$ $0.0000$ $0.0000$ $0.0000$ $0.0000$	0.3/0.00
9	50418	Phosphonic acid, 1- aziridinyl-, diethyl ester	0       (OC <sub>2</sub> H <sub>5</sub> ) <sub>2</sub>	Interchemical Corp.	5.0 2.5 1.0 .5 .25	18(10)	1(4)	0 0 2(0) 15 22 81 81	0 0 0(0). 15 18 61 91
64	50310	Phosphonothioic acid, l-aziridinyl-, <u>0,0</u> - diethyl ester	S   NP (OC <sub>2</sub> H <sub>5</sub> ) <sub>2</sub>	American Agricul- tural Chemical Co.	1.0	ı	ı	100	66
65	50829	Aziridine, 1-(phenyl= thio)-	(NS-CNS-CNS-CNS-CNS-CNS-CNS-CNS-CNS-CNS-C	P. E. Fanta, Ill. Inst.Technology	1.0	83 1 1	67	3/ 67 96	3/ 49 84
99	50705	Aziridine, 1-(phenyl=sulfonyl)-		Interchemical Corp.	1.0	66	. 63	66	87
67	50490	Aziridine, 1-ethyl-2- (methylene-	CH <sub>2</sub> NC <sub>2</sub> H <sub>5</sub>	With Substituents Monsanto Chemical Co.	1.0	3/ 90 <u>3/</u> 3/	83	3/ 95 <u>3/5/</u> 86 <u>6/</u>	56
89	50489	Aziridine, 1 <u>-tert</u> -buty1- 2-methylene-	- CH <sub>2</sub>	A. T. Bottini, Univ. Calif.	1.0	66	91	100	97
69	50484	1-Aziridineethanol, 2- methylene-a-vinyl	CH <sub>2</sub> 0H 	· op	1.0	66	98	86	83

87	83 14 <u>1</u> /	78 91	81	91	79	1 6 1	73
97	96 24/	99	8 6	66	100	100	83
81	1 1	1 1	1	83	92	80 00 00 00 00	11
84	1 1	1 1	1	86	100	100 95 - 95	66
1.0	1.0	1.0	1.0	1.0	1.0	1.0	1.0
A, T. Bottini Univ. Calif.	Commercial	PCRB -NO <sub>2</sub>	FCRB $C(CH_3)_2$	PCRB	PCRB	PCRB	PCRB
1-Aziridineethanol, 2 CH <sub>2</sub> $0^{0}_{CCH_3}$ methylene-o-vinyl-, $\boxed{ NGH_2CH = GH_2 }$	Aziridine, 2-methyl- $\bigcirc$ NH	, 2-methyl-, $CH_3$ NO NO NO NO NO NO NO	Aziridine, 1-[[2,2-di= CH <sub>3</sub> 0 $C(CH_3)_2$ methyl-3-(2-methyl= $\  V(CH_3)_2 \ $ propenyl)cyclopropyl]= $\  V(CH_3)_2 \ $ carbonyl]-2-methyl-	Aziridine, 1-benzoyl= $CH_3$ 0 2-methyl-	Aziridine, 2-methyl- $1-\underline{\underline{o}}$ $1-\underline{\underline{o}}$ $CH_3$ $CH_3$ $CH_3$	Aziridine, 2-methyl- CH <sub>3</sub> 0 1-m-toluoyl- CH <sub>3</sub> 0  CH <sub>3</sub> 0  CH <sub>3</sub> 0	Aziridine, 2-methy $^{\text{L}}$ CH $_3$ 0 1-P-toluoy $^{\text{L}}$ $\stackrel{\text{CH}}{\mid}$ $\stackrel{\text{CH}}{\mid}$ $\stackrel{\text{C}}{\mid}$ $\stackrel{\text{C}}{\mid$
1-Aziridi methyler acetate	Aziridine	Aziridine picrate	Aziridine methyl- propeny carbony	Aziridine, 2-methyl-	Aziridine, 2-m 1- <u>o</u> -toluoyl-	Aziridine, 2-n 1- <u>m</u> -toluoyl-	Aziridine, 2-m 1- <u>p</u> -toluoy b
50786	50325	50370	32954	50745	50551	32893	50552

Table 1.--Monosziridinyl compounds without and with substituents on aziridinyl carbon: Identity, source, and sterilization to house flies--Continued

4	indicated insect compound in	Sugar Hatch Pupae		Percent Percent	98 75 97 89	94 79	97 93	100 89	57 30 57 1.9	100 84	85 62	100 96
4 4 4 4 4 4 4 4 4 4 4 4 4 4 4 4 4 4 4 4	on at with	upae		Percent P	1 1	30	94	80	. 77	88	82	1
	Steriliz	Fly food Hatch P		Percent	1 1	58	66	100	- 26	94	86	1
		Concen- tration		Percent	1.0	1.0	1.0	1.0	2.5	1.0	1.0	1.0
Continued		Source	With Substituents		PCRB	Interchemical Corp.	<b>,</b> ob	PCRB	Interchemical Corp.	PCRB	Interchemical Corp.	do.
		Structure			CH <sub>3</sub>	CH3 - NC - C1	. $CH_3$ $\stackrel{0}{\text{Inc}}$ $\stackrel{-}{\text{CM}_2}$	, CH <sub>3</sub> 0 CH <sub>2</sub> ) <sub>3</sub> CH <sub>3</sub>	CH <sub>3</sub> LycnH	, CH <sub>3</sub> 0 NGNH	CH <sub>3</sub> S NCNH	GH GH
		Name			Aziridine, 1-(o- chlorobenzoyl)-2- methyl-	Aziridine, $1-(\underline{p}-chlorobenzoy1)-2-methy1-$	Aziridine, 2-methyl-l- $CH_3$ (p-nitrobenzoyl)-	1-Aziridinecarboxamide, $CH_3$ $\stackrel{0}{\text{ln}}$ $CH_3$ $\frac{0}{\text{N-buty1-2-methy1-}}$ $CH_3$ $CH_3$	1-Aziridinecarbox= anilide, 2-methyl-	1-Aziridinecarboxamide, 2-methyl- <u>N</u> -1- naphthyl-	<pre>1-Aziridinecarbox= anilide, 2-methyl= thio-</pre>	Phosphine oxide, (2= methyl-1-aziridinyl)= diphenyl-
	Entomology	No. (ENT-)			32955	50706	50749	50662	50701	50684	50732	50414
	I	Item			78	79	80	81	82	83	84	85

74	20	0(84) 23 84(43) 82 85 92		4 82 52 76 89	61	11	09	88	11
8	20	0(96) 31 93(43) 8 95 89		85 90 70 87 97	90	1.1	80	86	11
06	40	0(23) 		0(51) 69 71 82 90	29	06	70	1	06 6
NO. 12.0	52	0(100) 0(100) 100 100 100		NO(100) 100 98 99 100	93	95	95	1	95 95
1.0	1.0	2.5 1.0 1.0 0.5 0.5 .15		1.0 0.5 .25 .1	1.0	1.0	1.0	1.0	1.0
American Agricultural Chemical Co.	PCRB	PCRB	With Substituents	Corp.	op	CH <sub>3</sub> do	op	op	ġo
S N N	O = NSSN	Nssn	With		CH <sub>3</sub> OH CH <sub>2</sub> CHCH <sub>2</sub> N CH <sub>3</sub>	сн <sub>3</sub> он он он он он мен <sub>2</sub> о (сн <sub>2</sub> ) 4 осн <sub>2</sub> сисн <sub>2</sub> м	CH <sub>3</sub>	CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub>	CH3 NC(CH2) 4 CN
Phosphinothioic acid, bis(1-aziridiny1)-, O-phenyl ester	Aziridine, 1,1'- sulfinylbis-	Aziridine, 1,1'- dithiobis-		Aziridine, 1,1'- CH (p-phenylene= diethylene)= bis[2-methyl-	2-Propanol, 1,3- CH bis(2-methyl-1- aziridinyl)-	1-Aziridine= cthanol, a, a'- [terra= methylene= bis(oxymethyl= ene)]bis[2-methyl-	Aziridine, 1,1'- carbonylbis= [2-methy1-	Aziridine, 1,1'- oxalylbis[2- methyl-	Aziridine, 1,1'- adipoylbis= [2-methy1-
50043	50357	50360		50416	50405	26612	50422	50411	50129
98	87	88		68	06	91	92	93	94

Table 1.--Monoaziridinyl compounds without and with substituents on aziridinyl carbon: Identity, source, and sterilization to house flies Pupae Percent 0 42*d*\* 16 30 89 94 42 83 91 88 50 Sterilization at indicated insect stage with compound in--Percent Hatch 0 7007 17 42 95 86 28 88 93 6 65 0 0(78) d' 32 53 Percent Pupae 9 19 34 92 09 70 91 Fly food 0 93(87)& Percent Hatch 98 79 93 94 94 67 93 84 tration Percent Concen-.25 1.0 1.0 1.0 1.0 1.0 1.0 1.0 1.0 With Substituents Source PCRB PCRB PCRB PCRB PCRB PCRB PCRB PCRB NCNH-⟨O⟩-NO<sub>2</sub> [N(CH<sub>2</sub>)<sub>2</sub>CH<sub>3</sub> NC(CH3)3 Structure C2H2OC~ C2H50Cacid, 1-text-butyl-,  $C_2H_5OC$ -ethyl ester  $C_2H_5OC$ 2-Aziridinecarboxylic C2H5OC  $c_{2}^{H_{5}}$ 0Č. acid, 1-[p-nitrophenyl)= carbamoyl]-, ethyl ester carbamoy1)-, ethyl ester acid, 1-(p-methoxy-phenyl)-, ethyl ester 2-Aziridinecarboxamide acid, 1-(1-naphthyl= acid, 1-propyl-ethyl 2-Aziridinecarboxylic 2-Aziridinecarboxylic 2-Aziridinecarboxylic 2-Aziridinecarboxylic 2-Aziridinecarboxylic 2-Aziridinecarboxylic acid, ethyl ester acid, 1-benzyl-, methyl ester Name ester Entomology 50755 50682 50722 50911 50609 50717 50719 50718 (ENT-) Item 95 96 6 86 66 100 101 102

<sup>1</sup> ₽06	22	98	85	80	85	$\frac{3}{4/61}$	8 5	74
<del>100</del> − 100 − 10	61	100	97	96	96	3/ 4/86 89	06	91
1	98	ı	1	I	98	4/30 40	98	ı
1	93	1	ı	ı	94	3/ 4/38 79	95	ı
1.0	1.0	1.0	1.0	1.0	1.0	1.0	1.0	1.0
$^{\text{CH}_3}$ Commercial $^{\text{CH}_3}$ $^{\text{MH}}$	CH <sub>3</sub> CH <sub>3</sub> CIO Univ. III.	CH <sup>3</sup>	$ \begin{array}{c} CH_3 & 0 \\ \hline \end{array} $ $ \begin{array}{c} AO. \\ \end{array} $	$\begin{array}{c c} CH_3 & \emptyset \\ \hline & NC \end{array} \begin{array}{c} OH_3 & \emptyset \\ \hline & OH_2 \end{array}$	CH <sub>3</sub> 0 do.	$(H_3 \ 0) \ PCRB$ $N - P(N(CH_3)_2)_2$	$\text{CH}_{3} \xrightarrow{\text{CH}_{3}} \underset{\text{NP}}{\overset{\text{C}}{\text{O}}} (\text{Oc}_{2}^{\text{H}_{5}})_{2} \qquad \text{Interchemical}$	$CH_3 \xrightarrow{CH_3} 0$ do.
Aziridine, 2,2-dimethyl- C	Aziridinium, 1,1,2,2 tetramethylper= CH- chlorate	Aziridine, 1-benzoyl $2,2$ -dimethyl $\mathrm{CH}_{\overline{3}}$	Aziridine, $1-(p-ethoxy=benzoy1)-2,2-dimethy1 CH 3$	Aziridine, 2,2-dimethyl $(P_{3}-P_{1})$ $(P_{3}-P_{1})$ $(P_{3}-P_{3}-P_{3})$	1-Aziridinecarboxani= lide, 2,2-dimethyl $^{\rm CH}_{\overline{3}}$	Phosphonic diamide, P (2,2-dimethyl-1-azi= CH_ridinyl)-N,N,N',N' tetramethyl	Phosphonic acid, (2,2 dimethyl-1-aziridinyl)-, Cdiethyl ester	Aziridine, 2,2-dimethyl 1-[(P-nitrophenyl)= CC sulfonyl]
50669	50944	50401	50412	50400	50733	60184	50709	50421
103	104	105	106	107	108	109	110	111

Table	1Monoaziri	Table 1,Monoaziridinyl compounds without an	nd with substituents	s without and with substituents on aziridinyl carbon: Continued	Identity,	So	nd steriliza	ation to ha	sterilization to house flies
	Entomology					Sterili	on at with	indicated in compound in-	sect
Item	(ENT-)	Мате	Structure	Source With Substituents	tration	Hatch P	Pupae	Hatch	Sugar Pupae
					Percent P	Percent	Percent	Percent	Percent
113	50946	5-Azoniadispiro [4.0.5.1] dodecaneper= chlorate	.1] C C C C C C C C C C C C C C C C C C C	⇒ J. Paukstelis, 4 Univ. Ill.	1.0	72	62	85	73
113	50945	1-Azoniaspiro [2.5] octane, 1-benzyl-1-ehtyl perchlorate	.ane, CH2 CH2CH2CO	$ > >_{\text{C10}_4^{\text{O}}}^{\text{do.}} $	1.0	93	88	88	29
114	60213	Aziridine, <u>cis</u> -2,3- dimethyl-, <u>p</u> -nitro= benzoate	CH <sub>3</sub> NH · HOCCO	PCRB	1.0	93	88	91	81
115	60212	Aziridine, <u>trans</u> -2,3- dimethyl-, <u>p</u> -nitro= benzoate	CH3 NH . HOC O NO LITABLE	PCRB NO <sub>2</sub>	1.0	67	29	84	77
116	50750	Aziridine, 2,3-di= CH <sub>3</sub> methyl-l-(p-nitro= benzoyl) CH <sub>3</sub>	$_{\text{CH}_3}^{\text{CH}_3}$ $\stackrel{0}{\underset{\text{CH}_3}{\text{NG}}}$ $\stackrel{0}{\underset{\text{CH}_3}{\text{NO}}}$ -NO <sub>2</sub>	Interchemical Corp.	1.0	69	95	86	92
117	50711	Phosphonic acid, (2,3-dimethyl-l-aziridinyl) diethyl ester	$c_{1}$ )-, $c_{1}$ $c_{3}$ $c_{2}$ $c_{1}$ $c_{2}$ $c_{2}$ $c_{3}$ $c_{3}$ $c_{2}$ $c_{3}$ $c_{3}$ $c_{3}$ $c_{4}$ $c_{5}$ $c_{2}$ $c_{5}$ $c_{$	• op	1.0	96	06	100	95
118	50920	6-Azabicyclo[3.1.0]hexane	ine	PCRB	1.0	81	71	87	87
119	50902	7-Azabicyclo[4.1.0]heptane	ane	PCRB	1.0	86 1 1	83	93 98 88	0 92 83
120	50919	8-Azabicyclo[5.1.0]octane	ine NH	PCRB	1.0	88	75	88	7.1

88 66	94 91 66 63	98 75 94 76 95 73 95 73 9207 8607	77 67	$\begin{array}{cccccccccccccccccccccccccccccccccccc$	$0(0) (0) \sigma'' 0(0) (0) \sigma'' 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0$	
1.0	1.0	5.0 2.5 1.0	1.0	1.0 1.0 .5 .25 .1	5.0 5.0 2.5 2.5 1.0 .5 .1 .1 .1 .1	) percent.
9-Azabicyclo[6.1.0]nonane P. E. Fanta III. Inst. Technology	3-Azatricyclo[3.2.1.0 <sup>2,4</sup> ]= Octane	3-Azatricyclo[3.2.1.0 <sup>2</sup> , $^4$ j= 0 PCRB octane-3-carboxylic acid, ethyl ester	3-0xa-6-azabicyclo= HM PCRB [3.1.0]hexane	Carbamic acid, ester certh= 6-amino-1,1a,2,8,8a,8b-hexahydro- 6-amino-1,1a,2,8,8a,8b-hexahydro- 8-(hydroxymethy1)-8a-methoxy- 5-methylazirino[2',3';3,4]= pyrrolo[1,2-a]indole-4,7-dione (Mitomycin C)  CH3  CH3  NH  CH3	Carbamic acid, ester urth 6-amino- 1.1a,2.8,8a,8b-hexahydro-8- (hydroxymethyl)-8a-methoxy-1,5- dimethylazirino[2',3';3,4]= pyrrolo[1,2-a]indole-4,7-dione (Porfiromycin)  NH2  CH3  CH3  CH3	Compound administered in sugar water. $\frac{5}{6}$ Low oviposition. Mortality 20-40 percent. $\frac{6}{6}$ Mortality 61-80 percent. Mortality 41-60 percent.
50482	51297	51296	51235	26199	50825	1/ Comp 2/ Mort 3/ Mort 4/ Mort
121	122	123	124	125	126	

- 23 -

Continued

3	1	Section 1. Composite with a section of the section	מות אינו מתחמני נתנונים	Continued	• 62 12 112 24	מסקד כבי מווי	211111000		יתרווניורץ, סטתורני, מוום סירודוומתיוטו עם ווסמסט בוונסם
	Entomology				2000	Sterilizati stage	Sterilization at indicated insect stage with compound in	ndicated i	insect n Sugar
Item	(ENT-)	Name	Structure	Source Without Substituents	tration	Hatch	Pupae	Hatch	Pupae
					Percent	Percent	Percent	Percent	Percent
-	50881	Palladium, <u>trans</u> dichloro-bis= (ethylenimine)=	( $\square$ NH) $_2$ PdCl $_2$	PCRB:	1.0	100	76	100	82
2	50874	Cobalt, bis(ethyl= enediamine)bis= (ethylenimine) tribromide	PCRJ ( [ NH) 2 (NH2CH2CH2NH2) 2 Col	PCRB +++	1.0	71	29	88	74
m	50872	Cobalt, bis(dimethyl=gloyoximato)bis=(ethylenimine)chloride	CH3 (HON=C ——	PCRB $CH_3 +  C = NO)_2 Co_3 C C = NO)_2 Co_3 C C C = NO C C C C C C C C C C C C C C C C C C $	2.5 1.0 1.0 .5 .5 .25	73.0% 0 0 52 - 4 82 82	62 <i>d</i> 0 0 32 4 47 61	458 85 1 1 1	2
4	50752	Aziridine, 1,1'= methylenebis~	□ NCH NCH N	PCRB	1.0	66	92	28	28
5	51617	1-Aziridineaceta= mide, N.N'- octamethylene= bis-		Stanford Research Inst.	1.0 1.0 1.0 .5 .5 .25 .25	$8(0)\sigma^0$ 0 $14(10)\sigma^0$ $31(41)\sigma^1$ 10 41	8(0)\$\phi^0 11(9)\$\phi^0 22(35)\$\phi^0 33	$\begin{pmatrix} 0 \\ 1(0) \mathbf{d} \\ 2 \\ 2(9) \mathbf{d} \\ 26 \\ 22(29) \mathbf{d} \\ - \\ - \\ - \\ - \\ - \\ - \\ - \\ - \\ - \\ $	$ \begin{array}{c} 0\\1(0)\sigma^{3}\\0\\2(6)\sigma^{3}\\10\\20(27)\sigma^{3}\\-\\-\\\end{array} $

47	38 51 58	48	62	84	0(21) 0(21) 0 5(11) 84(23) 82(56) 91(76)	62 32	77
76	41 80 81	100	97	66	$\begin{array}{c} 0\underline{1}/\\ 1\\56(88)\\98\\5(89)\\99(96)\\100(100)\\98(100)\end{array}$	62 50	100
06	40 79 61	70	92	61	52 1 0 0 1 1 1	- 06	82
97	40 81 77	100	97	94	1 1 6 1 0 1 1 1	- 66	100
1.0	1.0	1.0	1.0	1.0	5.0 2.5 1.0 1.0 .5 .25	2.5	1.0
CCNSC	Stanford Research Inst.	PCRB	PCRB	PCRB	PCRB	PCRB	PCRB
OH OH CHCH <sub>2</sub> CH—CHCH <sub>2</sub> N]	NCH <sub>2</sub> CNHCH <sub>2</sub> 0 0 0 0 0 0 0 CNCH <sub>2</sub> CNHCH <sub>2</sub>		O O O O O O O O O O O O O O O O O O O	0 	0 	0   0   0   0   0   0   0   0   0   0 	0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0
2,3-Butanediol, 1,4-bis(1-aziridinyl)-,	l-Aziridineaceta= mide, N,N'-(m- phenylenedimethyl= ene)bis-	Aziridine, 1,1'- oxalylbis-	Aziridine, 1,1'- succinylbis-	Aziridine, 1,1'- glutarylbis-	Aziridine, 1,1'- adipoylbis-	Aziridine, 1,1'- pimeloylbis-	Aziridine, 1,1'- suberoylbis-
50693	51616	50888	50613	50615	50610	50614	50889
9	_	œ	6	10	11	12	13

Table 2..-Diaziridinyl compounds without and with substituents on aziridinyl carbon: Identity, source, and sterilization to house flies

1 1						Sterili	Sterilization at indicated insect	ndicated in	sect
Z	No.				Concen-	FIV	Fly food	S	Sugar
- 6-3	(ENT-)	Маше	Structure	Source	tration	Hatch	Pupae	Hatch	Pupae
				Without Substituents					
					Percent	Percent	Percent	Fercent	Percent
Ω.	50611	Aziridine, 1,1' azelaoylbis-	0 0	PCRB	2.5 1.0 1.0 .5 .25	67	141111	0 1d <sup>3</sup> 3(85) 95(0) 87(8) 100(92)	0 1d 0(6) 79(0) 61(6) 74(28)
Š	50612	Aziridine, 1,1'- sebacoylbis-	0 0 	PCRB	2.5 2.5 2.5 1.0	- - 2/42 - 2/98 42	42 0 19	0 - 71	0 - 36
Ŋ	50616	Aziridine, 1,1'~ fumaroylbis~		PCRB	5.0 2.5 1.0 .5 .25 .25	6 0 50 0 98 100	3 0 0 0 69 91 71	6 0 7 7 8 8 8 8	4 0 0 0 13 38
Ŋ	50720	Aziridine, 1,1'-(1,2- cyclobutylenedi= carbonyl)bis-	NC-CH-CH <sub>2</sub> NC-CH-CH <sub>2</sub> NC-CH-CH <sub>2</sub>	PCRB	1.0	97		72	61
5	50529	Aziridine, 1,1'-iso- phthaloylbis-		PCRB	5.0 1.0 .5	81	199   1	26 1 92 90	24 0 78 78
ιζ	50526	Aziridine, 1,1'- terephthaloylbis-		PCRB	1.0	62	8 7	88	73

		, IIJOIOIIOOOO06
NO_1/ NO_1/ NO 0(0)(3)\$ 00 2(0)(0)\$ 23 21	$\begin{array}{cccccccccccccccccccccccccccccccccccc$	NO N
29 21 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1	K K K	1/ 1/ 0 0 0 0 0 0 0 21(72) - 14(82)
	0(0) (0) 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0	1/ 10/ 10/ 10/ 10/ 10/ 10/ 10/ 1
1.0 .5 .25 .1 .05 .05 .025 .025	1.0 1.0 1.0 1.0 1.0 1.0 1.0 1.0 1.0 1.0	5.0 1.0 1.0 1.0 1.0 1.0 1.1 1.0 1.0 1.0 1
The Squibb Inst.	qo	Corp.
$\begin{bmatrix} & 0 & & & & & & & & & & & & & & & & & $	e. NCNH (CH2) 5NHCN	NCNH(CH <sub>2</sub> ) <sub>6</sub> NHCN
l-Aziridinecarboxa= nide, N,N'-tetra= methylenebis-	$\frac{1-Aziridinecarboxamide,}{N,M}$ pentamethylenebis-	1-Aziridinecar= boxamide, N,N'- hexamethylenebis-
50838	50840	50172
50	21	į. K

Table 2..-Diaziridinyl compounds without and with substituents on aziridinyl carbon: Identity, source, and sterilization to house flies

Sterilization at indicated insect stage with compound in	Hatch Pupae Hatch	Percent Percent Percent Percent	$\begin{array}{cccccccccccccccccccccccccccccccccccc$	$\begin{array}{cccccccccccccccccccccccccccccccccccc$	5.0
	Source Without Substituents		The Squibb Inst.	ор	op
	Structure		e,	e, 0 0 0 NGWH (CH <sub>2</sub> ) 8 MICK	Aziridinecarboxamide, 0 0 0 N.N.'-decamethylenebis-
	Name		1-Aziridinecarboxamide, N,N <sup>1</sup> -heptamethylene= bis-	1-Aziridinecarboxamide, N.M'-octamethylene= bis	1-Aziridinecarboxamide, $\frac{\mathrm{N,N'}}{\mathrm{-decamethylenebis}}$
Entomology	(ENT.)		50841	50839	50321
	Item		23	24	25

0(0)(0)0 0(0)(0)0 0(0)(0)0 0(0)(0)0 82 77 10(0)(0)0	0 0 0 0 0 0 0 14	0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0
$\begin{array}{cccccccccccccccccccccccccccccccccccc$	N04/ N01/ N0 N0 N0 N0 N0 N0 N0 N0 10'	0 0 0 0 1 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0
0(0)(0) 0(0)(0) 0(0)(0) 0 0 0 0 0 0 0 0	0 0 0 0 0 0 0 0 0	0   0   4   6   6   6   6   7   6   6   7   6   6   7   6   6   7   7   7   7   7   7   7   7   7   7
)0	NOO4/ NOO NOO NOO 0 0 0 0 0 0 0 0 0 0 0 0 0 0	00 00 00 14 79 98 1000
1.0 1.0 0.5 .5 .25 .25 .1 .05 .005 .005	1.0 1.0 0.5 .25 .1 .05 .025	5.0 2.5 1.0 1.0 1.0 0.5 .25 .1 .05
PCRB	Sloan-Kettering Research Inst.	Chemirad Corp.
, 0 0 0 NCNHCH=CHNHCM	NCN NCN	CNCNHCH2 CNGNHCH2 CNGNHCH2
1-Aziridinecarboxamide, N.Mtrans- vinylenebis-	Piperazine, 1,4-bis= (1-aziridinyl= carbonyl)-	l-Aziridinecarboxamîde, N, N' - ( <u>q</u> -phenylenedi= methylene) bis-
50987	50791	50174

				Continued					
	Entomology					Sterilize	Sterilization at indicated insect stage with compound in-	icated inse	ct
Item	(ENT-)	Name	Structure	Source	Concen-	Fly food	pood	Sugar	i.
				Without Substituents	raction .	narcn	rupae	narch	rupae
					Percent	Percent	Percent	Percent	Fercent
67	50848	l-Aziridinecarboxamide, $\overline{\mathrm{N}, \mathrm{N}}$ '-p-phenylenebis-	O O O O O O O O O O O O O O O O O O O	The Squibb Inst.	1.0	0 (0)(0)00	<b>(</b> 0) (0) 0.	0 5(0)(6)	$(0)(0)(0)_{0} (0)(0)(0)_{0}$
			7		.5	270 64	2700	0(0)0	<b>€</b> (0)Q
					.25	5	0	<b>(0)0</b>	0(0)0
					.1	1 1		0(0)(0)0	0(0)(0)0
					.05	11		4(5) (20) مًا	0(2)(10)
					.00			000	000
					. 005			5(7)(8)0	3(5)(8)
					.0025			0(14) (18) <b>3</b> 43	5 0(6)(16)07 34
0	50173	1-Aziridinecarboxamide, $\frac{N}{N}$ , $\frac{N}{N}$ ' - $(4-\text{methy}1-\frac{m}{m}$	0=0	Chemirad	5.0	1		$0(1\frac{1}{2})$	0(01/)
		phenylenebis-	NCNH O NHCN		1.0	85(0) 3			(0)0
			$_{ m CH}_{ m 3}$		.25	4 77			0(0)
			CH <sub>2</sub>		.025	100	63	0 99	0 7 7
1	50842	1-Aziridinecarboxamide,	07			! ∞	=		0 0
		M'M - (2-merny1-m- phenylenebis-	NCNH-NHCN			3(0)(0)	0(0)(0)0		0(0)(0)
			7			NO(0) 07	<b>№</b> 0(0)0		0(0)0
						φ(0)0 0	(0)0 (0)0		0(0)0.7
						62	45		0 0(0)(0)
					.05		67		00
					.025		1 1	12 72	12 8 72 47
0.1	50661	4',4"'-Bi[1-aziri- dine= carboxy-o-		PCRB	1.0	96	85	88	73
		Colulaide	NHCN						
			CH <sub>3</sub> CH <sub>3</sub>						

32

0 0 160 12	0 11/ 0 28 49	0 0 330 <sup>7</sup> 0 2(0) 17(0) 21(23)	1   69	80	
0 0 30° 15	3 11/ 0 62 74	$\begin{array}{c} 0 \\ 0 \\ 45\sigma^{7} \\ 0 \\ 10(0) \\ 18(0) \\ 26(33) \\ 14 \end{array}$	1   6   1	06	111111
530° 530°	62	0 0 0 58(0) 58(29)	$9\frac{1}{14}$ 0(0) 88 87	70	000006
550°,	66	16 6 0 8(0) 86(0) 95(63) 48	91/104 $104/100$ $100/100$	81	NO 1/ 133/ 0 33/ 95 95
5.0 2.5 1.0	1.0 1.0 0.5 .25 .1	5.0 2.5 2.5 1.0 0.5 .25	5.0 2.5 1.0 0.5 .1	1.0	5.0 2.5 1.0 0.5 .25
PCRB	PCRB	PCRB	PCRB	Interchemical Corp.	CCNSC
ide] NCNH-() -NHCN 0CH3 0CH3	NCNH	NCNH———————————————————————————————————	.5- (1)- (1)- (1)- (1)- (1)- (1)- (1)- (1)	),5- (1)- (2)- (1)- (1)- (1)- (1)- (1)- (1)- (1)- (1	1)- $C_{3}H_{7}O_{0}O_{3}H_{7}$
4',4"'Bi[aziridine= carbox- <u>o</u> -anisidide]	l-Aziridinecarbox= anilide, 4',4''' methylenebis-	l-Aziridinecarbox= amide, N.N'-1,5- naphthylenebis-	P-Benzoquinone, 2,5 bis(1-aziridinyl)	p-Benzoquinone, 2,5- bis(l-aziridinyl)- 3,6-dichloro-	p-Benzoquinone, 2,5 bis(1-aziridiny1) 3,6-dipropoxy-
50665	50175	50664	50702	50729	26324
33	34	35	36	37	38

Table 2. --Diaziridinyl compounds without and with substituents on aziridinyl carbon: Identity, source, and sterilization to house flies--

			4					
חמה דדד	sect -	Sugar Pupae	Doroca Posson	(c)(L)(0	 0(16) 43 78	3107 0 0 0	0 0 0 0 0 0 0 0 17 93	134 234 24 25
7011 00 110	icated in-	Su Hatch	900	 No5/ No4/ No4/ 0 0(9)07	 0(21) 75 96	310 0 0 1	1 6 0 100 0 2 2 22 99	NO NO 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0
source, and sectification to modae files-	Sterilization at indicated insect stage with compound in	Pupae	Down	1/1 0 0 0 0 0 0 0	0 20 0(50) 52 93	890° 890° 20 62 67	0(0) 0(12) 0(12) 0(12) 53(36)	0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0
(22,0	Steriliza	Fly food Hatch Pu	Downont	NOT 95 95 95 95	0 20 3(93) 89 97	0 900 <b>3</b> 20 71 73 81	0(0) 5 0(99) 3(5) 6(12) 95(56)	NO1/ 17 17 40 0 62 
00 (6,1,1,1,0,0)		Concen- tration H	Dorogont	5.0 2.5 1.0 1.0 0.5 .25	5.0 2.5 1.0 0.5	1.0	5.0 1.0 0.5 .25 .1 .05	5.0 1.0 0.5 .25 .1 .05 .025
Continued			Without Substituents	CCNSC	PCRB	Commercial	CCNSC	Sloan-Kettering Research Inst.
		Structure	With	CH <sub>3</sub> 0C <sub>2</sub> H <sub>4</sub> 0 N O OC <sub>2</sub> H <sub>4</sub> 0CH <sub>3</sub>	HO HO	Z C	CH <sub>3</sub>	Z Z
		Name		p-Benzoquinone, 2,5-bis(1-aziridiny1)-3,6-bis(2-methoxy-ethoxy)-	Hydroquinone, 2,5- bis(1-aziridiny1)-	Pyrimidine, 2,4- bis(1-aziridiny1)- 6-chloro-	Pyrimidine, 2,4- bis(1-aziridinyl)- 6-methyl-5-nitro-	s-Triazine, 2,4- bis(1-aziridiny1)-
	Entomology	(ENT-)		26382	50677	23945	50330	50790
	Вn	Item		6	70	41	7 7 7 7 7 7 7 7 7 7 7 7 7 7 7 7 7 7 7 7	4 3

79	$\begin{array}{cccccccccccccccccccccccccccccccccccc$	0 0 00, 12 13	51	81
68	$\begin{array}{c} \frac{1}{1/} \\ 0 \\ 0 \\ 0 \\ 0 \\ 0 \\ 0 \\ 0 \\ 0 \\ 0 \\ $	0 0 113 113	76	94
92	2000 000 000 000 000 000 000 000 000 00	0 0 0 0 0 114 27	58	81
100	NO NO 115 88607 755 715 115 115 115 115 115 115 115 11	0 0 0 0 0 90 52	94	48
1.0	1.0 0.5 .25 .1 .1 .05 .05 .025 .025 .025	5.0 1.0 1.0 0.5 .25 .1	1.0	1.0
The Squibb Instit.	Sloan-Kettering Inst.	ор	C. H. Boehringer Sohn	Ф
S-Triazine, 2,4-bis= (1-aziridinyl)-6- (diazomethyl)- N	g-Triazine, 2,4-bis= (1-aziridinyl)-6- (methylamino)- NON-NHCH3	Pyrimido[5,4-d]= pyrimidine, 4,8-bis= (1-aziridinyl)- N	Pyrimido[5,4-d]= pyrimidine, 4,8- bis(1-aziridiny1)- 2-chloro- N N C1	Pyrimido[5,4-d]= pyrimidine, 4,8- bis(l-aziridinyl)- 2,6-dichloro- Cl
50301	50876	50792	50878	50879

Table 2.--Diaziridinyl compounds without and with substituents on aziridinyl carbon: Identity, source, and sterilization to house flies---

insect	Sugar	Pupae		Percent Percent	95 83		81 46	69 06	97(87) 86(86)	1111
t indicated	stage with compound in-	Hatch		Percent Per	0 84	06	5)	7 4	1	06 06 06
Sterilization at indicated insect	Stage wit	Hatch Pupae		Percent Pe	NO 95	95	NO(88) 0(75) 97 87 90 79	56 9	1	95 99 99 99 99 99 99 99 99 99 99 99 99 9
Ste	- 40000			Percent P	1.0	1.0	1.0 1 0.5 0.5	1.25	1.0	1.0 0.5 .25
קיינים מיינים	Ċ	Source	tuents		Interchemical Corp.	American Agricultural Chemical Co.	The Squibb Inst.	ĝ	op	Olin Mathieson PF <sub>3</sub>
		Structure			s = Now\	P-0CH <sub>2</sub> CH(CH <sub>3</sub> ) <sub>2</sub>	$(N )_{2}$ $(N )_{2}$ $(N )_{3}$ $(N )_{2}$	P C12  N (N (CH <sub>3</sub> ) <sub>2</sub> ) <sub>2</sub>	$\begin{pmatrix} N & CI_4 \\ & &$	CF3CF2CF2CH20 NOCH2CF2CF2CF3 CF3CF2CF2CH20 NOCH2CF2CF2CF3
		Name			Aziridine, 1,1'- thiocarbonylbis-	Phosphinous acid, bis(1-aziridiny1)-, isobuty1 ester	1,3,5,2,4,6-Triaza= triphosphorine, bis(1-aziridinyl)= tetrakis(dimethyl= amino)-2,2,4,4,6,6= hexahydro-	1,3,5,2,4,6-Triaza- triphosphorine, bis= (1-aziridiny1)= dichlorobis(dimethy1= amino)-2,2,4,4,6,6= hexahydro-	1,3,5,2,4,6-Triaza= triphosphorine, bis(1-axiridinyl)= F tetrachloro-2,2,4,=   4,6,6-hexahydro-	1,3,5,2,4,6-Triaza= triphosphorine, 2,2-bis(l-aziri= diny1)-4,4,6,6- tetrakis(2,2,3,= 3,4,4,4-hepta= fluorobucox)- c,6,6,6-
	Entomology	(ENT-)			50847	50045	50442	50326	50304	50061
		Item			64	50	51	52	53	54

$0 (NO) (0) d^{0}(-) (0) \sigma^{2}(0) 0 d^{0}(0) d^$	$\begin{array}{cccccccccccccccccccccccccccccccccccc$	N0.3/ 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0
	1.0	1.0 04/ 0 1.0 8(0)(0)d <sup>7</sup> (0(0)0 <sup>7</sup> 0.5 0 0 .5 0(0)(82)d <sup>7</sup> (0)(73)d <sup>7</sup> .25 34 34 .25 34 .15 .05 .05 .025 .025 .025 .025 .025
PCRB	op	O
N N N N CH <sub>3</sub> 2 N N CH <sub>3</sub> 2 N N CH <sub>3</sub> 2	N O NHCH <sub>3</sub>	N 9 - N (CH <sub>3</sub> ) 2
Phosphonic amide,  P.P-bis(l-aziri-dinyl)-N-[4,6-bis(dimethyl-amino)-s-triazin-2-yl]-	Phosphonic amide,  P.P-bis(1-aziri-dinyl)-N-methyl-	Phosphonic amide, $\frac{P, P-bis}{diny1} (1-aziri=diny1)-\underline{N}, N-dimethy1-$
51091	51254	06605
55	9 5	25

Table 2..-Diaziridinyl compounds without and with substituents on aziridinyl carbon: Identity, source, and sterilization to house flies

Sterilization at indicated insect stage with compound in	Sug	na cen rupae	Percent Percent	$\begin{array}{cccccccccccccccccccccccccccccccccccc$	$ \frac{1}{1} \frac{1}{2} \frac{1}{1} \frac{1}{1} \\ 1 (2) (1) o'' 0 (0) (0) o'' \\ 1 \frac{1}{2} \frac{1}{1} \frac{1}{1} \\ 0 \frac{1}{2} \frac{1}{0} \\ 0 0 0 \\ 0 0$
zation at in tage with co	pood	rupae	Percent	00000   04%	17 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0
Sterilis	1	naten	Percent	NO NO 3 N	770188811111
	Concen-	ration	Percent	5.0 0.5 .25 .1 .1 .05 .025 .01 .005 .0005 .0005 .00005 .00005	1.0 0.5 .25 .25 .05 .005 .01
	c	Without Substituents		E. Kuh, Rutgers Univ.	PCRB
	C	Wit		N O O O O O O O O O O O O O O O O O O O	N O O O O O O O O O O O O O O O O O O O
	M	Name		Phosphonic amide, P.P-bis(1-aziridinyl)-N-ethyl-	Phosphonic amide, $\frac{p}{p}$ . bis $(1-aziridiny1)-\frac{N}{N}$ propy1-
Entomology	No.	(ENI-)		50787	51253
	-	Trem		8 9	65

$\begin{array}{cccccccccccccccccccccccccccccccccccc$	$ \begin{array}{cccccccccccccccccccccccccccccccccccc$	750* 430* 0 0 0 0 0 (0) (1) 0*0 (0) 0* 0 (N0) (40) 0*0 () (35) 0* 1 0 6 (11) (6) 0*3 (6) (4) 0* 54 46 33 33 33
(0) (0) (0) (0) (0) (0) (0) (0) (0) (0)	- 0000	0 0(0)(0) <i>0</i> <sup>3</sup> 26 560 <sup>4</sup> 73 670 <sup>4</sup>
1.0 (0) 0) 0.0 1.0 1.0 1.0 1.0 1.0 1.0 1.0 1.0 1.0	1.0 05/ 0.5 00/ 0.5 00/ 1.1 1.0 0.05 1.0 0.025 1.0 0.01 1.0 0.005 1.0 0.005 1.0 0.005 1.0 0.005 1.0	1.0 0(0)(0)σ <sup>3</sup> 1.5 80σ <sup>3</sup> 2.5 89 2.25 89 2.1 81σ <sup>4</sup> 3.1 81σ <sup>4</sup> 3.
PCRB	E. Kuh, Rutgers Univ.	op
N P-NHCH	N P-NHC4 H9	N P-NHC <sub>6</sub> H <sub>13</sub>
Phosphonic amide, $\frac{P,P^-}{\text{bis}(1-aziridinyl)} - \frac{N^-}{N^-}$ isopropyl-	Phosphonic amide, $\underline{P},\underline{P}$ -bis (1-aziridinyl)- $\underline{N}$ -butyl-	Phosphonic amide, <u>P,P</u> -bis(l-aziridinyl)- <u>N</u> -hexyl-
51256	51028	51029
09	61	62

Table 2. -- Diaziridinyl compounds without and with substituents on aziridinyl carbon: Identity, source, and sterilization to house flies---

4 4 4 4 4 4 4 4 4 4 4 4 4 4 4 4 4 4 4 4	sterilization at indicated insect stage with compound in-	Sugar Hatch Pupae		Percent Percent Percent 03/ 0 37 24	$\begin{array}{cccc} 0 & 0 \\ 0 & 0(0)\phi^3 & 0(0)\phi^3 \\ 0 & 0 & 0 \\ 0 & 0 & 0 \\ 0 & 0 & 0 \\ 0 & 0 &$		\$0 <sup>2</sup> /3/ 0 	NOLY 0 NOS/ 0 NO 0 90 0 10 0 3 3 0 88 0
	stage wit	rly food h Pupae		004	0 13(3) $\sigma^2$ 29 71 71	17 0 0 0 0 0 0 0 0 0 0 0	0     6	1 1 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0
	Steri	Hatch		Percent Percent 5.0 NO 2.5 03/ 1.0 43	(7) 0 <sup>1</sup> 30 93 	$\frac{1}{N05}/$ $\frac{1}{95}$ $\frac{95}{95}$	NO 95 95 	100 NO1/ 0 0 0 0 5 5 0 90
		Concen- tration		Percen 5.0 2.5 1.0	1.0 42(7)0° 0.5 30 0.5 93 .25 93 .25 1.1	5.0 2.5 1.0 1.0 .5 .1	5.0 2.5 1.0 0.5 .25	5.0 2.5 1.0 1.0 0.5 .5 .25 .1 .05
continued		Source	Without Substituents	E. Kuh, Rutgers Univ.	Borden Chemical Co.	CCNSC	American Cyanamid Co.	Armour Pharm. Co.
00		Structure	Withou	N p-NHCgH <sub>1</sub> 7		O DEAL NEW YORK OF THE PROPERTY OF THE PROPERT	N 9 -NH-(-) -0CH <sub>3</sub>	N 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0
		Name		Phosphonic amide, $\underline{P}, \underline{P}$ bis (1-aziridiny1)- $\underline{N}$ octy1-	Phosphine oxide, bis= (1-aziridinyl)(hexa hydro-1 <u>H</u> -azepin-1-yl)-	Phosphine oxide, bis= (1-aziridinyl)morpho= lino	Phosphinic amide, P.P. bis(1-aziridiny1)-N. (P.methoxypheny1)-	Carbamic acid, [bis=(1-aziridinyl)phos=phinyl]-, ethylester
	Entomology	No.		50788	50716	26400	50106	50450
	En	Tron	11	63	79	65	99	29

0 0 0 0 0 0 0 0 0 0 0 0 0 0	23 29	9     6	
NO 0 0 0 170* 150* 0 (42) '0(0) 22(0) 0(0) 22 19	1   369	0     8	1   100
	0 0 0 0 0 56 43	00000 00000 00000 00000	11000000000000000000000000000000000000
92 - 1 - 2 - 3 - 3 - 3 - 3 - 3 - 3 - 3 - 3 - 3	0 0 4 4 0 0 88 77	21 21 50 60 60 60	NO NO NO 95 95 95 95 95
2.5 1.0 1.0 0.5 .25 .1 .05	5.0 2.5 1.0 0.5 .25	5.0 2.5 2.5 1.0 0.5 .25 .25 .1 .1 .05	5.0 2.5 1.0 1.0 0.25 .1
The Squibb Inst.	op	οp	American Cyanamid Co.
N P-NHCOCH <sub>2</sub>	$\begin{pmatrix} N & 0 & 0 \\ N & P - NHCNH + \\ NO_2 & NO_2 \end{pmatrix}$	N 9 - NHCNH-C1	N C2H5
<pre>Carbamic acid, [bis=   (1-aziridiny1)=   phosphiny1]-,   benzyl ester</pre>	Urea, 1-[bis= (1-aziridinyl)= phosphinyl]-3- (c-nitrophenyl)-	Urea, 1-[bis= (1-aziridinyl)= phosphinyl]-3- (3,4-dichloro= phenyl)-	Phosphinic amide,  P.P-bis(1-aziri=diny1)-N-ethy1-N- 1,3,4-thiadiazol- 2-y1-
50451	50780	50781	50002
89	69	70	71

Table 2..-Diaziridinyl compounds without and with substituents on aziridinyl carbon: Identity, source, and sterilization to house flies

t	Pupae		Percent	28	9 0 1) 51 62 63	.0) 0 0 58 22	0 0 0)(0) <i>o</i> <sup>2</sup> 0)(0) <i>o</i> <sup>3</sup> 0)(0) <i>o</i> <sup>3</sup> 24
cated insec	Hatch Pu		Percent P	2	9 9 2 0 0(39) 0(31) 58 51 76 62 91 63	0(100) 0(0) 100 0 18 0 99 58 99 58	$ \begin{array}{cccccccccccccccccccccccccccccccccccc$
Sterilization at indicated insect stage with compound in-	ıpae		Percent	0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0	0(12) 1 5 71 36	0(0) 0 0 76 53	. 16 10 0 11 11 11 11 11 11 11 11 11 11 11 1
Sterilizat stag	Hatch P		Percent	$\frac{\text{No} \frac{1}{2}}{0}$ $0$ $0$ $0$ $0$ $0$ $0$ $0$ $0$ $0$ $0$	NO(15) 7 6 97 600	NO(35) 0 0 88 62	N03/ 11/ 12/ 13 23 11/ 11/ 11/ 11/ 11/ 11/ 11/ 11/ 11/ 11/
Concen-	2		Percent	1.0 0.5 .5 .25 .25 .1 .1 .1 .05	5.0 1.0 0.5 	1.0 0.5 .25	1.0 0.5 .25 .1 .1 .05 .05
	Source	Without Substituents		Continental 0il Co.	American Agricultural Chemical Co.	op	Stauffer Chemical Co.
	Structure	Without		N 9 -002H5	STA. Z	VIZ. VIZ. Z	N S N (GH <sub>2</sub> ) 30CH <sub>3</sub>
	Name			Phosphinic acid, bis= (1-aziridinyl)-, ethyl ester	Phosphine sulfide, bis(1-aziridiny1)= phenyl	Phosphine sulfide, bis(1-aziridinyl)z (hexahydro-1 <u>H</u> - azepin-1-yl)-	Phosphinothioic amide,  P.P-bis(1-aziridiny1)- N-(3-methoxypropy1)-
Entomology No.	(ENT-)			50761	50318	50396	50981
	Item			72	73	74	75

0                             0	06	08	0 0(0) 15(0) 0 19	5(52) 35 6807
8	92	8	95 0(0) 15(0) 0 19	 0 0 0 0 5(66) 50 810°
06(0) 06(0) 06(0) 06(0) 00(0) 00(0) 00(0) 00(0) 00(0) 00(0) 00(0) 00(0) 00(0) 00(0) 00(0) 00(0) 00(0) 00(0) 00(0)	17 0 90	11/ 11/ 68 75	11/ 0 0 0 0 0 24 66	06 (0)0
5(NO) NO24 954 00 00 95(9) 95(95) 95(95) 95(95) 95(95) 95(95) 95(95) 95(95) 95(95) 95(95) 95(95) 95(95) 95(95) 950 95 95 95 95 95 95 95 95 95 95	10 NO10 94	1/ 1/ 1/ 92 87	1/ 0 0 0 0 0 27 70	No1/ No5/ No2/ No1/ 95 (NO) 95 95 95
1.0 1.0 1.0 1.0 0.5 .25 .1 .1 .1 .05 .05	1.0	1.0 0.5 .25 .1	1.0 0.5 .25 .1 .05	5.0 2.5 1.0 0.5 .25 .1 .05 .05
American Cyanamid Co.	Continental Oil Co.	op	American Agricultural Chemical Co.	Ф
O Z Z Z	- Ny S-NHCH <sub>2</sub> CH <sub>2</sub> SH	- NH CH2 CH2 SCH2	N S P-OCH <sub>3</sub>	N S - OC2 <sup>H</sup> 5
Phosphine sulfide, bis(l-aziridinyl)= morpholino-	Phosphinothioic amide, P.P-bis(1-aziridinyl)- N-(2-mercaptoethyl)-	Phosphinothioic amide, $\frac{P, P-bis(1-aziridiny1)}{\overline{N-[2-(benzylthio)=}}$ ethy1]-	Phosphinothioic acid, bis (1-aziridiny1)-, 0-methyl ester	Phosphinothioic acid, bis(1-aziridinyl)-, 0-ethyl ester
25301	50763	50762	50765	50042
	77	. 78	79	80

Source   Concentration   C		Entomology		•			Steriliza	ization at ind stage with com	Sterilization at indicated insec stage with compound in	sect
State	Item	No. (ENT-)	Мате		Source out Substituents	Concen- tration	Fly fo Hatch	npae	-	Pupae
50314 Phosphinothioic acid, bis(Lasiridiny))., bis(						Percent	Percent	Percent	Percent	Percent
Floating Phosphinochioic acid, bis(L-aziridinyl)-, page 10, 10, 10, 10, 10, 10, 10, 10, 10, 10,	81	50044	Phosphinothioic acid, bis(1-aziridiny1)-, O-propyl ester	N S-0C <sub>3</sub> H <sub>7</sub>	American Agricultural Chemical Co.	1.0 0.1 .1 .05 .025	17, 17, 17, 19, 19, 19, 19, 19, 19, 19, 19, 19, 19	1/,1/ 901/ 	1 1 1 8 8 8 6 6 6 6 6 6 6 6 6 6 6 6 6 6	  79 86
50391 Phosphinothioic acid, bis(L-airidinyl)-, bis(		50315	Phosphinothioic acid, bis(1-aziridiny1)-, O-butyl ester	N S OC 4 H	ор	5.0 2.5 1.0 0.5 .25 .25 .1 .05	$\begin{array}{cccccccccccccccccccccccccccccccccccc$	  1/ 0 0 0 (20) 0	2(1) 2(1) 3 3 5 1110 <sup>3</sup> 78	0 (0) 0 1 0 0 1 0 0 0 1 1 8 8 8 8 9 9 9 9 9 9 9 9 9 9 9 9 9 9
Phosphinothioic acid, bis(1-aziridiny1)-,	83	50391	Phosphinothioic acid, bis(L-ažiridinyl)-, Q-isopentyl ester	N S CH <sub>2</sub> CH <sub>2</sub> CH <sub>3</sub> CH (CH <sub>3</sub> ) 2	Continental Oil Co.	5.0 2.5 1.0 0.5 0.5 .5 .25 .25	$\begin{array}{c} \frac{1}{2} \\ \text{NO}(25/) \\ 44/(5) \\ 190^{7} \\ 16 \\ 79 \\ 80 \\ 98 \end{array}$	$\begin{array}{c} \\ 0(0) \\ 0(3) \\ 60^{4} \\ 16 \\ 8 \\ 86 \\ 86 \end{array}$	05/ 48 1 99(85) 4 72  82	05/ 9 (25) 62  72
50311 Phosphinothioic acid, bis(1-aziridiny1)-, bis(1-aziridiny1)	ব	50317	Phosphinothioic acid, bis(1-aziridiny1)-, O-decyl ester	N S -0C <sub>10</sub> H <sub>21</sub>	American Agricultural Chemical Co.	2.5 1.0 0.5 .25 .1	0 36(5) 37 88 82 97	0(0) 18 70 61 94	0(5) 0(8) 12 20 20 98	0(0) 0(4) 12 20 78 75
	50	50311	Phosphinothioic acid, bis(L-aziridinyl)-, 0-2-chloroethyl ester	N S CH <sub>2</sub> CH <sub>2</sub> C1	όρ	5.0 2.5 1.0 0.5 .25 .1 .1	$\begin{array}{c} NO_{1}^{1}/\\ NO_{1}^{1}/\\ 0(20)\\ 0\\ \frac{1}{1}\\ NO_{1}^{1}/\\ 0\\ 0\\ 15\\ 15 \end{array}$	$ \begin{array}{c} 0\\0\\0\\\frac{1}{2}\\0\\0\\0\\12\end{array} $	$ \begin{array}{c} 24/\\ 0\\0\\0\\0\\0\\0\\0\\1\\89 \end{array} $	0(0) 000) 0 0 0 1

98	60181	Phosphonic diamide, $N,N,=$ $CH_3$ $0$ PCRB $N',M'$ -tetramethyl- $P$ - $(2 3$ $N$ - $P$ (N( $CH_3$ ) $_2$ ) $_2$	PCRB	1.0	$4\frac{3}{4^3}$	0 4 (52) 4	/g6	0 070) 0
			7					00
				.5	∞ <u>(</u>	7	63	54
				(7:	75	60	/0	<b>†</b>
87	50408	Phosphonic acid, (2- $CH_3$   0 methyl-1-aziridinyl)-, $DP(OC_2H_5)_2$ diethyl ester	Interchemical Corp.	1.0	ı	ı	96	92
88	50402	Aziridine, 2-methyl-1- (phenylsulfonyl)- 0	. op	1.0	1	ı	66	91
68	50707	Aziridine, 2-methyl-1- $(H_3 - 0)$ $(I_2 - I_3)$ $(I_3 - $	• op	1.0	100	100	100	91
06	50860	1-Aziridineethanol, $CH_2=CH$ $NCH_2CH_2OH$	CCNSC	1.0	93	87	56	8 7
91	50403	Aziridine, 2-ethyl- $c_{2}^{H_{5}}$ $N_{H}$	Interchemical Corp.	1.0	ı	1	86	68
92	50710	Phosphonic acid, (2- $C_2^H_5$ $\stackrel{0}{=}$ ethyl-l-aziridinyl)-, diethyl ester	do.	1.0	86	85	86	92
93	50943	3-Azoniaspiro[2,4]= (CH <sub>3</sub> ) <sub>2</sub> CH	J. Paukstelis, Univ. III.	1.0	85	71	70	63
	20880	2-Aziridinecarbonitrile, $^{\rm N \mp C}$ 1-buty1-	Interchemical Corp.	1.0	92	7.5	100	91

Table 2.--Diaziridinyl compounds without and with substituents on aziridinyl carbon: Identity, source, and sterilization to house flies

80	61	0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0	11	86 93 74	
97	77	 0 0(1) 2(2) 0(5) 3(19)	11	94 98 100	1
76	79	0 0 0(0) 0(6) 22(31) 0(60)	06 06	000116	06
100	66	0 1 0 2(0) 0(13) 22(52) 5(86) 80	95	22 22 NO NO 	9 9 2
1.0	1.0	5.0 2.5 1.0 0.5 .25 .1 .05	1.0	5.0 2.5 1.0 0.5 .1	0.1
0 ►NHCN CH3 PCRB	H <sub>3</sub> PCRB	PCRB	Interchemical Corp.	ф	PCRB
CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub>	CH <sub>3</sub> OCH <sub>3</sub> OCH <sub>3</sub>	CH <sub>3</sub> Ch <sub>3</sub> Ch <sub>3</sub> CH <sub>3</sub>	$^{\text{CH}_3}$ $^{\text{Q}}_{\text{N}}$ $^{\text{Q}}_{\text{CH}_2}$ $^{\text{Q}}_{\text{2}}$ $^{\text{CH}_3}_{\text{2}}$	$\begin{pmatrix} cH_3 & cH_3 \\ NCO(CH_2)_2O(CH_2)_2OCN \end{pmatrix}$	CH <sub>3</sub>
4',4''-Bi[1- aziridinecar- boxy-Q-tolui= dide], 2;2"- dimethy1-	4',4"'-bi[1- aziridinecar- box-o-anisi= dide], 2,2"- dimethy1-	1-Aziridine= carboxamide N.N.'-1,5-naph= thylenebis[2- methyl-	1-Aziridine= carboxylic acid, 2- methyl., ethylene ester	1-Aziridine= carboxylic acid, 2-methyl-, oxydiethylene ester	Hydroquinone, 2,5-bis(2- methyl-1- aziridinyl)-
50663	20667	99905	50122	50124	50056
100	101	102	103	104	105

-Diaziridinyl compounds without and with substituents on aziridinyl carbon: Identity, source, and sterilization to house flies

Entomology No.		Strate	Source	Concen- tration	Fly	stage with compound in-	Mpound in-	Sugar Pupae
(ENT-)	OHEN.		With Substituents	Percent	Percent	Percent	Percent	Percent
50424	P-Benzoquinone, 2,5-bis(2-methyl- 1-aziridinyl)=	CH <sub>3</sub> OH <sub>3</sub> OH <sub>3</sub> OH <sub>3</sub>	Interchemical Corp.	5.0 2.5 1.0 1.0 0.5 .25 .05	0 0 0(0) 1110 <sup>3</sup> 2 2 2 96 98	0 0 0 110 <sup>3</sup> 2 7 83 79	 4 4 32(8) 1 82 82  92 98	13(0) 0 00 0 0 00 82 84
50730	P-Benzoquinone, 2,5- dichloro-3,6-bis= (2-methyl-1- aziridinyl)-	$C1 \longrightarrow C1 \longrightarrow C1$	• op	1.0	6	91	77	70
50708	g-Triazine, 2-ani= lino-4,6-bis(2- methyl-1-aziri= dinyl)-	CH <sub>3</sub>	do.	1.0	87	72	92	79
50703	Aziridine, 1,1'-		· op	1.0	100	. 86	100	97
50005	[2-methyl- Phosphine oxide, bis(2- methyl-l-aziridinyl)= phenyl-	(3.62 - 0.04) $(3.62 - 0.04)$ $(3.62 - 0.04)$ $(3.62 - 0.04)$ $(3.62 - 0.04)$ $(4.62 - 0.04$	• op	0.1	95 (95)	06 (06)06	100	06

0(0) 0(0) 0(0) 0 0(0) (0) 0 0(0) (0) 0 5(0) 5(0) 5(0) 0(0) (0) 0 9(3) 9(3) 9(3) 0(0) 0 2 0(0) (0) 0 0 0(0) 0 9 0 0 0 0 0 0 0 9 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0	0 4(9)(9)&4(4)(9)& 95 76 95 76	96 81	87 57	$\begin{array}{cccc} \frac{1}{2} / & \frac{1}{2} / \\ & \frac{1}{2} / & \frac{1}{2} / \\ & 0 & 0 \\ 0 & 0 & 0 \\ 0 & 0 & 0 \\ 3 & 0 & 0 \\ 6 & 7 & 480 \\ 68 (20) & 48 (12) \\ 91 (85) & 77 (74) \\ 92 (97) & 86 (72) \\ \end{array}$	70 42 46 37 90 79 91 71
0 (0) (NO) \$\delta' \cdot (0) (0) \$\delta'\$	19 9	58 52	NO 0 85 72 98 78 100 75 100 79 98 94	11/1 11/1 11/1 11/1 11/1 11/1 11/1 11/	1711
1.0 1.0 1.0 0.5 .5 .25 .25 .1 .1	1.0 1.0 0.5	1.0	1.0 1.0 0.5 .25 .1	5.0 2.5 1.0 1.0 1.0 0.5 0.5 .5 .25	2.5 1.0 0.5
. Cl The Squibb	3м Со.	3м со.	Interchemical Corp.	American Agri- cultural Chemical Co.	do.
CH <sub>3</sub> N P-HNCHN CH <sub>3</sub> CH	$\operatorname{cH}_3 \underbrace{\sum_{N} N \underbrace{\beta}_{P-O} - C_1}_{\text{CH}_3 \underbrace{\sum_{N} N \underbrace{\beta}_{P-O} - C_1}} - C_1$	CH <sub>3</sub> N P <sub>0</sub> CO <sub>1</sub> CO <sub>2</sub> CO <sub>1</sub> CO <sub>2</sub> CO <sub>1</sub> CO <sub>2</sub> CO <sub>2</sub> CO <sub>2</sub> CO <sub>2</sub> CO <sub>3</sub> CO <sub>2</sub> CO <sub>2</sub> CO <sub>3</sub> CO <sub>2</sub> CO <sub>3</sub> CO <sub>2</sub> CO <sub>3</sub>	$= \frac{CH_3}{CH_3} \sqrt{\frac{1}{N}}$	CH <sub>3</sub> N S C <sub>H<sub>5</sub></sub> CH <sub>5</sub>	$^{\text{CH}_3}$ $\stackrel{\text{N}}{\sum}_{N}$ $\stackrel{\text{S}}{\downarrow}$ $^{\text{OC}_3\text{H}_7}$
50886 Urea, 1-[bis(2-methyl-1-aziridinyl)= phosphinyl]-3-(3,4-dichlorophenyl)-	50953 Phosphinic acid, bis= (2-methyl-1-aziri= dinyl)-, p-chloro= phenyl ester	50954 Phosphinic acid, bis= (2-methyl-1-azirie dinyl)-, trichloro= phenyl ester	50426 Phosphine sulfide, bis= (2-methyl-1-aziridinyl)= phenyl-	50312 Phosphinothioic acid, bis (2-methyl-1-aziridinyl)-, 0-ethyl ester	50313Phosphinothioic acid, bis(2-methyl-1- aziridinyl)-, <u>0</u> -propyl ester
ii.	112	113	114	115	116

Table 2..-Diaziridinyl compounds without and with substituents on aziridinyl carbon: Identity, source, and sterilization to house files

	Ratomology				Sterilize	Sterilization at indicated insect	icated in	ect
	No.			Concen-	Fly food	od	Sugar	ar
Item	(ENT-) Name	Structure	Source	tration	Hatch	Pupae	Hatch	Pupae
		NOTE THE PARTY OF	201120	Percent	Percent	Percent	Percent	Percent
117	50393 Phosphinothioic acid, bis(2-methyl-1-aziridinyl)-, 0-phenyl ester	CH3 P-0-0-0-0-0-0-0-0-0-0-0-0-0-0-0-0-0-0-0	American Agri- cultural Chemical Co.	1.0	1	1	100	82
118	50361 Aziridine, 1,1'- dithiobis[2- methy1-	OH 3 OH 3	PCRB	5.0 2.5 1.0 1.0 0.5 .25	NO 0 60 93 77 77 100 95	0 0 79 50 87 87	65	
119	50358 Aziridine, 1,1'- sulfinylbis= [2-methyl-	CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub>	Interchemical Corp.	5.0 2.5 2.5 1.0 83(100) 1.0 0.5		71(86)	NO NO 84 <i>d</i> <sup>7</sup> 66(12) 58 95	0 0 76 <i>d</i> 58(12) 84 57
120	50359 Aziridine, 1,1'- sulfonylbis= [2-methyl-	CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub>	PCRB	5.0 2.5 1.0 0 0.5 .25 .1	14 5 0(39) 78 97 100 96	12 5 0(37) 65 86 86 86		  119 77 63
121	50128 Aziridine, 1,1'- (m-phenylene= disulfonyl)bis= [2-methyl-	$\overset{\text{CH}_3}{\longrightarrow}\overset{\text{CH}_3}{\longrightarrow}\overset{\text{N}}{\longrightarrow}\overset{\text{SO}_2}{\longrightarrow}$	Interchemical Corp.	1.0	95 95	06		1 1
122	50964 Aziridine, 1,1'- isophthal= oylbis[2-ethyl-	C2H5 NC2H5 NC2N5 NC2H5	3 м Со.	1.0	88	78	57	53

75	13/L/L	0 0 12(10)	8	91	73	
66	15	2 2 16(18)	66	92	80	
1	111	17.	1	87	76	
1	111	21	1	66	06	
1.0	5.0 2.5 1.0	5.0 2.5 1.0	1.0	1.0	1.0	
Armour Pharm. Go.	Continental Oil Co.	American Agri- cultural Chemical Co.	Continental Oil	PCRB	PCRB	
CH <sub>3</sub> — P-NHOc <sub>2</sub> H <sub>5</sub> CH <sub>3</sub> — CH <sub>3</sub>	$CH_3 \xrightarrow{CH_3} N \xrightarrow{\text{F}-0C_2H_5}$	$CH_3 + N$ $CH_3 + N$ $CH_3 + N$ $CH_3 + N$	$CH_{3} \xrightarrow{CH_{3}} V_{N} \xrightarrow{S} CH_{3}$ $CH_{3} \xrightarrow{CH_{3}} V_{N} \xrightarrow{S} V_{N} \xrightarrow{S}$	O NGNH (CH2) 6NHCN O	,415 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0	<pre>4/ Mortality 20-40 percent. 4/ Mortality 61-80 percent.</pre>
50452 Carbamic acid, [bis=(2,2-dimethyl-1-aziridinyl)phos=phinyl]-, ethyl ester	50395 Phosphinothioic aciá, bis(2,2-dimethyl- l-aziridinyl)-, Q-ethyl ester	50314 Phosphinothioic acid, bis(2,2-dimethyl-1-aziridinyl-, Q-propyl ester	50394 Phosphinothioic acid, bis(2,2-dimethyl-1-aziridinyl)-, 0-phenyl ester	51236 3-0xa-6-azabicyclo=[3.1.0]hexane-6-carboxamide, N.N'-hexamethylenebis-	51327 3-Azatricyclo[3,2.1.0 <sup>2</sup> ,4] $>$ 0 octane-3-carboxamide, $\frac{N,N}{N}$ -hexamethylenebis-	$\frac{1}{2}$ Mortality 81-100 percent. $\frac{2}{2}$ Low oviposition.
123	124	125	126	127	128	1/ M 2/ L

3/ Mortality 41-60 percent.

without and with substituents on aziridinyl carbon: Identity, source, and sterilization to house flies

Tabl	e 3Triaz	iridinyl compounds with	out and with substit	Table 3Triaziridinyl compounds without and with substituents on aziridinyl catoum.	raenere)	Addition of the state of the st			
	Entomology				Concen-	Sterilization stage Flv food	Sterilization at indicated insect stage with compound in Fly food Sugar	npound in-	Insect n Sugar
tem	No. (ENT-)	Маше	Structure	Source Without Substituents	tration	Hatch	Pupae	Hatch	Pupae
					Percent	Percent	Percent	Percent Percent	Percent
н	51086	p-Benzoquinone, tris(l-aziri= dinyl)-		CCNSC	1.0 0.5 .25 .1 .1	$\frac{1}{02}$ 0 12 10 32	$\frac{1}{1} / \frac{1}{1} / \frac{1}{1} $ $0$ $0$ $0$ $0$ $0$ $0$ $0$ $0$ $0$ $0$		
7	.25296	s-Triazine, 2,4,6- tris(l-aziridinyl)-		Commercial	5.0 2.5 1.0 1.0 1.0 0.5 .5 .25 .25 .25 .05	95 00(NO)3/NO(NO)3/NO2/NO2/NO2/NO2/NO2/NO2/NO2/NO2/NO3/NO3/NO3/NO3/NO3/NO3/NO3/NO3/NO3/NO3	0(0)3/ 0(0)3/ 01/ 0 0 0 0 03/ 00(90)(0) 90(90)(0)	, NO	\frac{1}{1} \( \frac{1}{0} \) \( \frac{1}{1} \) \( \frac{1}{0} \) \( \frac{1} \) \( \frac{1}{0} \) \( \frac{1} \) \( \frac{1}{0} \) \( \frac{1} \) \( \fr
m	50736	Aziridine, 1,1',1''- ( <u>s-phenyltricar=</u> bonyl)tris-	O=ON O=ON O=ON O=ON O=ON O=ON O=ON O=ON	PCRB	5.0 2.5 1.0	0 26 <i>d</i> 3	240°	70 3 <i>d</i> 7 22	63 2

09	0 0 0 0 13 13	1 1 8	4/ 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0
88	65 4 0 0 1,8 1,8	1 1 4	$ \begin{array}{ccccc} 4 & 4 & 4 \\ 0 & 0 & 0 \\ 0 & 0 & 0 \\ 0 & 0 & 0 & 0 \end{array} $ $ \begin{array}{ccccc} 0 & 0 & 0 & 0 \\ 0 & 0 & 0 & 0 & 0 \end{array} $ $ \begin{array}{ccccc} 0 & 0 & 0 & 0 & 0 \\ 0 & 0 & 0 & 0 & 0 \end{array} $ $ \begin{array}{cccccc} 0 & 0 & 0 & 0 & 0 & 0 \end{array} $ $ \begin{array}{ccccccccc} 0 & 0 & 0 & 0 & 0 & 0 \end{array} $ $ \begin{array}{ccccccccccccccccccccccccccccccccccc$
75	0 0 72 72 82 82	83 7 7	$\begin{array}{c} 0 \\ 2(0)\phi^{3}, \\ 0 \\ 1(8)\phi^{2} \\ 37 \\ 72) \\ 0 \\ \\ 0 \\ 0 \end{array}$
100	20°4 20°4 27 27 27 87	87 70 •	20 0 0 0 0 1(8)0' 44 44 42(81) 3
1.0	2.5 1.0 1.0 1.0 0.5 0.5 .25	1.0	1.0 0.5 .5 .25 .25 .1 .1 .05
Chemirad Corp.	The Squibb Inst. 2	Interchemical Corp.	Sankyd Co.
₩ B	N (CH <sub>3</sub> )		
) NCNH	$(CH_3)_2 N   N$ $N(CH_3)_2$		1)= (N) <sub>3</sub> P=N-15
1-Aziridinecarbox= anilide, 4', 4''',= 4''''-methylidyne= tris-	1,3,5,2,4,6-Triaza= triphosphorine, 2,4,6-tris(1-azi= ridinyl)-2,4,6- tris(dimethylamino)- 2,2,4,4,6,6-hexa= hydro- N(CH	1,3,5,2,4,6-Triaza= triphosphorine, 2,4,6-tris(1-azi= ridiny1)- 2,2,4,4,= 6,6-hexahydro- 2,4,6-tripheny1-	Benzenesulfonamide, N-[tris(1-aziridiny1)= ( N)3P. phosphoranylidene]-
50176 1-Aziridinecarbox= 0 anilide, 4', 4''', 4'''', 4'''', 4'''''	,4,6-Triaza= sphorine, -tris(1-azi= y1)-2,4,6- dimethylamino)- ,4,6,6-hexa=	50877 1,3,5,2,4,6-Triaza= triphosphorine, 2,4,6-tris(1-azi= ridiny1)- 2,2,4,4,= 6,6-hexahydro- 2,4,6-tripheny1-	ny1)= ( [

ect ar Pupae	Percent  10  10  10  10  10  10  10  10  10  1	81
cated insectound in- Sugar Hatch Pur	Percent  1	26
on at indi with comp upae	ay 03/ 3/ 03/ 6/ 0(0)	902/10 $1/2$ $02/0$ $02/0$ $03/0$ $03/0$ $03/0$ $03/0$ $00/0$ $00/0$
Sterilization stage Fly food Hatch	No.3/ No.3/ No.0/	95.2/ 1/02/ 1002/ 95.94) 88 002/ 62 002/ 00
Concen- tration H	Percent  1.0 1.0 1.0 0.5 0.5 .25 .25 .25 .25 .25 .25 .25 .25 .25 .	1.0 1.0 1.0 1.0 0.5 0.5 .25 .25 .125 .05
Source Without Substituents	Interchemical Corp.	• op
Structure	) P=0	P=S
Мате	Phosphine oxide, tris= (1-aziridinyl)-	Phosphine sulfide, tris(1-aziridiny1)-
Entomology No. (ENT-)	24915	24916
En	∞	6

		0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0	98	71	06	0   (0) (0) (0) (0) (0) (0) (0) (0) (0) (0)	0	0 0 10 7 7 135
		NO1/ 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0	96	68	100	8   (0) (0) 0	65	3 0 0 0 7 7 17
7		17 (17 (17 (17 (17 (17 (17 (17 (17 (17 (	I	l	83	0(0) 0(0) 0(0) 0 0 0 0 0 0 0 0 0 0 0 0 0	0	/T 0 0 (0 0 0 0 0 0 0 0 0 0 0 0 0 0 0
		11 11 11 11 11 11 11 11 11 11 11 11 11	l	1	96	$\begin{array}{c} 0 \\ NO^{\frac{3}{2}}/\\ 0(0) \\ 0(0) \\ 0 \\ 0 \\ 0 \\ 0 \\ 95 \\ 95 \end{array}$	10	1/  0 0 (95) 95
		2.5 1.0 1.0 1.0 0.5 0.5 .1	1.0	1.0	1.0	1.0 0.5 0.5   	1.0	1.0 1.0 1.0 0.5 0.5 .1 .05
		Interchemical Corp.	• op	. op	do.	° op	A. Bottini, Univ. Calif.	Interchemical Corp.
113 4 to 4.10 4	wirii Substituents	S-Triazine, 2,4,6- tris(2-methyl-1- aziridinyl)-  N  CH  CH	Aziridine, 1,1',= CH3 CH3 L'-(S-phenenyl= tricarbonyl)tris= (2-methyl-	$\begin{array}{c} \text{Benzenesulfonamide,} \\ \frac{N-\text{[tris(2-methy1-1-]}}{\text{aziridiny1)phosphor=}} \\ \text{anylidene]-} \end{array}$	$\frac{\text{P-Toluenesulfonamide,}}{\text{N-[tris(2-methyl-1-aziridinyl)phosphor=}} \left( \begin{array}{c} \text{CH}_3 \\ \text{N} \end{array} \right) \\ \text{p-N-S-CH}_3 \\ \text{anylidene]-} \\ \end{array}$	Phosphine oxide, tris(2-methyl-1- $\begin{pmatrix} CH_3 \\ \Delta z = 1 + 1 \end{pmatrix}$ P=0 aziridinyl)- $\begin{pmatrix} CH_3 \\ \Delta \end{pmatrix}$ P=0	Phosphine oxide, tris( $\underline{\underline{L}}$ -2-methy1-1-aziridiny1)- $\left(\begin{array}{c} CH_3 \\ \searrow N \end{array}\right)$ P=0 $\underline{\underline{L}}$ -form	Phosphine sulfide, tris(2-methyl-1-aziridinyl)-
		50055	50419	50425	50704	50003	50483	50004
		10	11	12	13	14	15	16

 $\frac{11}{261}$ Table 3.--Triaziridinyl compounds without and with substituents on aziridinyl carbon: Identity, source, and sterilization to house flies Percent 81 81 73 Pupae 0 0 0 0 24 Sterilization at indicated insect Sugar 1 9 1 stage with compound in--92 Percent 92 93 0 67 12 0 12(24)(17)*d* 54 81 Hatch 2(5)(NO)\$\dirangle 2(5)(0)\$\dirangle\$ Percent નુનુ 29 43 19 Pupae 0 15(33) (17) *d*. 15 63 63 5 Fly food ન્યુ 84 Percent 84 0 Hatch 1.0 1.0 1.0 Percent 5.0 tration Concen-Interchemical Univ. Calif. A. Bottini, 3 M Co. Corp. qo. With Substituents Source Structure tris[3-(2-ethyl-1-62H5 )NCH2CH2C P=S d-form L-form aziridinyi)prop= 50963 s-Triazine, 2,4,6ionyl]hexahydro-50777 g-Triazine, 1,3,5tris(2-ethy1-1-50783 Phosphine sulfide, tris(1-2-methyl-1-aziridinyl)tris(d-2-methyll"-(s-phenenyl=
trisulfonyl)= 50782 Phosphine sulfide, 50420 Aziridine, 1,1,= Name tris[2-methy1-1-aziridiny1)aziridiny1)-Entomology (ENT-) 21 20 Item 19 18 17

57 <u>3</u> / 	94	82	92	23 5	12	73	63 <u>1</u> /	80
633	100	84	76	8 8	75	87	841/	87
1/ 61 54	81	77	70	111	1	45	94	91
$\frac{1}{83}$	97	87	76	111	1	72	77	86
1.0	1.0	1.0	1.0	5.0 2.5 1.0	1.0	1.0	1.0	1.0
3 M Co.	A. Bottini, Univ. Calif.	Interchemical Corp.	PCRB	Interchemical Corp.	• op	PCRB	PCRB	PCRB
$\begin{array}{c} \begin{array}{c} \begin{array}{c} \begin{array}{c} \begin{array}{c} \begin{array}{c} \begin{array}{c} \begin{array}{c} $	$ \left( \frac{(CH_3)_2 CHCH_2}{1 - f_{CMM}} \right)_{3} P = 0 $	P=0	$\left(c_{2}^{H_5}o^{0}_{C}^{-1}\right)_{3}^{P=0}$	$\begin{pmatrix} cH_3 \\ -M_3 \\ -M_3 \end{pmatrix} P=0$	$\begin{pmatrix} CH_3 \\ CH_3 \end{pmatrix} P = 0$	N P=0	N P=0	3 P=0
Aziridine, 1,1;= 1''-(g-phenenyl= tricarbonyl)tris= [2-ethyl-	Phosphine oxide, tris(1-2-isobuty1- 1-aziridiny1)-	Phosphine oxide, tris(2-phenyl-1- aziridinyl)-	2-Aziridinecar= boxylic acid, 1,1',1''-phos= phinylidyne= tris-, triethyl ester	Phosphine oxide, tris(2,2-dimethyl- l-aziridinyl)-	Phosphine oxide, tris(2,3-dimethyl- l-aziridinyl)-	Phosphine oxide, tris(6-azabicyclo= [3.1.0]hexan-6-y1)-	Phosphine oxide, tris(7-azabicyclo= [4.1.0]heptan-7- y1)-	Phosphine oxide, tris(8-azabicyclo= [5.1.0]octan-8-y1)-
50955	50485	50728	50756	50423	50417	51010	51009	51011
22	23	24	25	26	27	28	29	30

Table 3. -- Triaziridinyl compounds without and with substituents on aziridinyl carbon: Identity, source, and sterilization to house files

t t		Pupae	ercent		
d insec	Sugar		Fercent Percent	48	87
indicate		Hatch		96	66
Sterilization at indicated insect stage with compound in	Fly food	Pupae	Percent	78	1
Sterili s	=	Hatch	Percent Percent	98	1
	Concen-	tration	Percent	1.0	1.0
		With Substituents		PCRB	Interchemical Corp.
	Ċ	Structure		0 0 3 8 9	CH3 CH3 P=0
		l'At me		Phosphine oxide, tris[3-oxa-6- azabicyclo= [3.1.0]hexan-6-yl)-	Phosphine oxide, tris(2,2,3- trimethyl-1- aziridinyl)-
Entomology	(FMT)	(- YHA)		51255	50415
	1100			31	32

1/ Mortality 81-100 percent.

2/ Mortality 61-80 percent.

3/ Mortality 41-60 percent.

4/ Mortality 20-40 percent.

Table 4.--Compounds with four or more aziridinyl groups: Identity, source, and sterilizing activity in house flies

	Entomology	Λ				Sterili	zation a	t indica	Sterilization at indicated insect
					Concen-	Fly 1	stage with y food	COMPC	Sugar
Item	(ENT-)	Name	Structure	Source	tration	Hatch	Pupae	Hatch	Pupae
					rercent	rercent	Fercent Fercent	Percent	ercent
1	50873	Chromium, <u>trans</u> - dichlorotetrakis= (ethylenimine) chloride	$\left[\left(\begin{array}{c} \text{NH}\right)_{4} \text{CrCl}_{2} \right] + -$	PCRB	1.0	63	17	70	25
74	50875	Platinum, tetrakis= (ethylenimine) tetrachloro= platinate(II)	$( )_{MH} )_{4} $ Pt PtC1 <sub>4</sub>	PCRB	1.0	61	27	35	29
m	50427	Aziridine, 1,1',1",= 1"'-(1,2,4,5- benzenetetracar= bonyl)tetrakis[2- methyl-	$CH_{3} \xrightarrow{0} \begin{array}{c} CH_{3} \\ CH_{4} \\ CH_{3} \\ CH_{4} \\ CH_{5} \\ CH$	Interchemical Corp.	1.0	1	1	8	81
4	50441	1,3,5,2,4,6-Triaza= triphosphorine, 2,2,4,6-tetrakis= (1-aziridiny1)-4,= 6-bis(dimethy1= amino)-2,2,4,4,= 6,6-hexahydro- ((	$(CH_3)_2 N N N (CH_3)_2$	The Squibb Inst.	1.0 0.5 .25 .1	0 83 77 97 93	78 66 77 82	~	~

Table 4.--Compounds with four or more aziridinyl groups: Identity, source, and sterilizing activity in house flies--Continued

						Sterilization at indicated insect	at indic	ated insect
	Entomology	λ			- 40000	stage with	compc	Sugar
Item	(ENT-)	Name	Structure	Source	tration Hatch	Hatch Pupae		Hatch Pupae
					Percent	Percent Percent	ent Percent	int Percent
rv.	50764	Phosphinothioc amide,  N.N'-ethylenebis= [P.P'-bis= (1-aziridinyl)-	S NH(CH <sub>2</sub> ) <sub>2</sub> NH-P-N	Continental Oil	5.0 2.5 1.0 0.5 .5 .25 .1 .05	$\begin{array}{cccc} 0 & 0 & 0 \\ & & \\ 0 & 0 & 0 \\ 0 & 0$	7 2 5 6 6 7 7 9 9 9 7 9 9 9 9 9 9 9 9 9 9 9 9	1112
9	50107	Phosphine oxide, 1,4-piperazine= diylbis[bis(1- aziridinyl)-		American Cyanamid Co.	5.0 2.5 1.0 96 0.5 .25 .1 0 .05 .025	$\begin{array}{cccccccccccccccccccccccccccccccccccc$	NO3/ NO1/ NO(0) NO(0) NO(0) NO(0) 12 0 0 0 95 98	رين (0) (0) (0) (0) (0) (0) (0) (0)
7	26315	Phosphinic amide, $\frac{N,N'}{}$ -ethylenebis= $\frac{[\underline{P},\underline{P}-bis(1-aziri=diny1))-\underline{N}-methyl-}$	$\begin{bmatrix} N & CH_3 & CH_3 & 0 \\ & & & & \\ N & P-NCH_2CH_2N & - & P \\ N & & & & \end{bmatrix}$	USDA				1 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0
∞	51133	<pre>Cobalt dibromobis=   [tris(l-aziridinyl)=   phosphine oxide]-</pre>	$= 2 \left[ \left( \left( \sum_{N} \right)_{3} P = 0 \right] \cdot CoBr_{2} \right]$	PCRB	1.0	94 83	97	62
6	51134	Cobalt dichlorobis= [tris(1-aziridiny1)= phosphine oxide]-	$= 2 \left[ \left( \left[ \bigvee \mathbb{N} \right]_{3} \mathbb{P} = 0 \right] \cdot \operatorname{CoCl}_{2}$	PCRB	2.5 1.0 1.0 0.5 .25 .1	$\begin{array}{cccccccccccccccccccccccccccccccccccc$	1000° 56 56	9 8 4 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1

93	2	0(0) 0(0) 0(0) 0 00 0 0 0 0
-		(0) (0) (0) (0) (0) (0) (0) (0) (0) (0)
I	1	NO (1) (1) (1) (1) (1) (1) (1) (1) (1) (1)
1.0	1.0	5.0 1.0 1.0 1.0 1.0 0.75 0.75 0.75 0.25 0.25 0.25 0.1 0.1 0.0 0.0 0.0 0.0 0.0 0.0 0.0 0.0
The Squibb Inst.	The Squibb Inst.	The Squibb
ine, hchi ka= y1= y2;= hchi dro- dro- hchi	2a=	rakis=  N P N  12,2=  1xo-  N N N  N N  N N  N N  N N  N N  N N
1,3,4,2,4,6-Tri= azatriphosphorine, 2,2,4,4,6,6-hexa= kis(1-aziridiny1= carboxamido)-2,2,= 4,4,6,6-hexahydro-	1,3,5,2,4,6-Triaza= triphosphorine, 2,= 2,4,4,6,6-hexakis= (1-aziridinylthio= carboxamido)-2,2= 4,4,6,6-hexahydro-	1,3,5,2,4,6-Triaza= triphosphorine, 2,2,4,4,6,6-hexakis= (1-aziridiny1)-2,2= 4,4,6,6-hexahydro-
50299	50297	26316
10	11	12

Table 4.--Compounds with four or more aziridinyl groups: Identity, source, and sterilizing activity in house flies--Continued

Sterilization at indicated insect stage with compound in	Sugar Hatch Pupae t Percent Percent	76(97) 32(71) 78(89) 55(49) 	66 66	9 9 20 20 100 74
lization estage with	Fly food Hatch Pupae Percent Percent	0(14) 0(14) 0 96	1	0(90) 6(90) 90(9)
		NO4/ NO4/ 0(60) 0 0 97 95	1	 5 0(95) 10(95). 95(23)
	Concentration Percent	5.0 2.5 1.0 1.0 0.5 0.5	1.0	5.0 1.0 0.5 5 0 05
	Source	Interchemical Corp.	The Squibb $CH_2O \leftarrow \bigcirc$ Inst. $CH_2O \leftarrow \bigcirc$ $CH_2O \leftarrow$ $CH_2O \leftarrow \bigcirc$ $C$	Olin Mathieson
	Structure	$CH_{3} \nearrow N \nearrow $	$\bigcirc -0 CH_2 \longrightarrow N CH_2 O \bigcirc \bigcirc \bigcirc \bigcirc \bigcirc OCH_2 \longrightarrow N \bigcirc \bigcirc$	
logy	) Мате	1,3,5,2,4,6-Triaza= triphosphorine, 2,2,4,4,6,6-hexa= hydro-2,2,4,4,6,6- hexakis(2-methyl-1- aziridinyl)-	1,3,5,2,4,6-Triaza= triphosphorine, 2,2,4,4,6,6-hexa= hydro-2,2,4,4,6,6- hexakis[2-(phenoxy= methyl)-1-aziri= dinyl]-	1,3,5,7,2,4,6,8— Tetraazatephos= phocine, 2,2,4,4,= 6,6,8,8—octakis= (1-aziridinyl)-2,= 2,4,4,6,6,8,8— octahydro-
Entomology	NO.	50123	50300	50057
	Item	13	14	15

 $\underline{1}$ / Mortality 20-40 percent.

 $\frac{2}{}$  Mortality 61-80 percent.

3/ Mortality 81-100 percent.

4/ Mortality 41-60 percent.



